

chain nodes :

2 3 4 5 6 7 8 9 10 11 12 13 14 15 18 19

ring/chain nodes :

1

chain bonds :

1-2 2-3 3-4 4-5 4-19 5-6 5-15 6-7 7-8 7-18 8-9 8-14 9-10 10-11 10-13 11-12

exact/norm bonds :

1-2 4-19 5-6 5-15 6-7 8-14 10-11 10-13 11-12

exact bonds :

2-3 3-4 4-5 7-8 7-18 8-9 9-10

G1:C,H

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:Atom 13:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS

=> d his

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FILE 'REGISTRY' ENTERED AT 11:22:10 ON 04 MAR 2003

L1 STRUCTURE UPLOADED

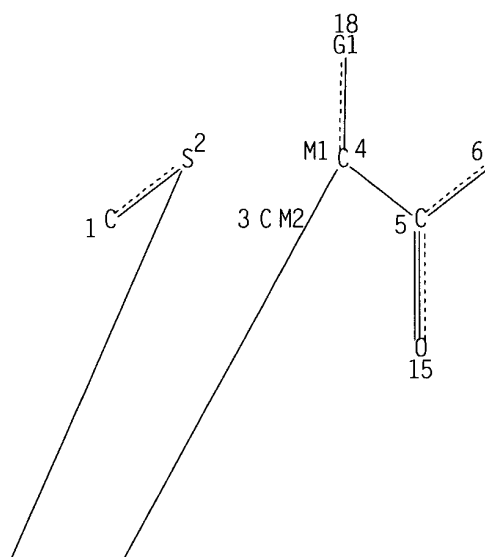
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L3 132 S L1 FULL

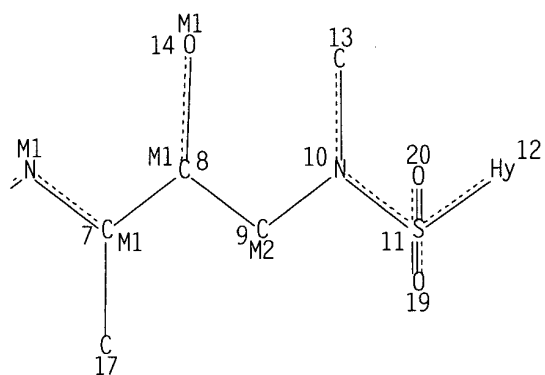
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L1 STR

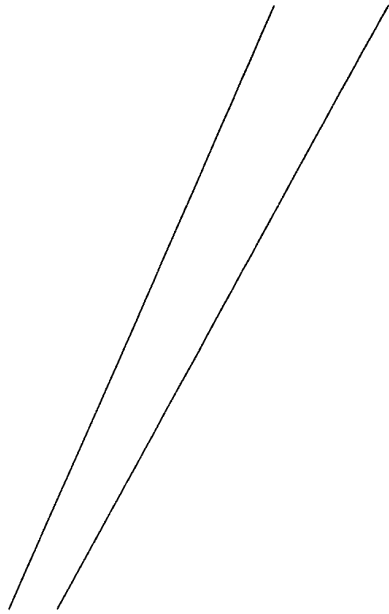
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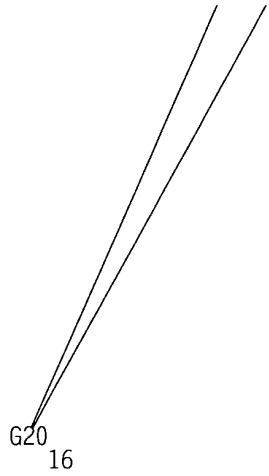
Page 1-A



Page 1-B



Page 2-A



Page 3-A

VAR G1=21/22

REP G20=(0-2) 3-2 3-4

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NSPEC IS C AT 2
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MLEVEL IS CLASS AT 1 2 3 4 5 6 7 8 9 10 11 13 14 15 17 19 20
21 22
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE
L3 132 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 194 ITERATIONS 132 ANSWERS
SEARCH TIME: 00.00.01

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FILE 'CAPLUS' ENTERED AT 11:23:39 ON 04 MAR 2003
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FILE COVERS 1907 - 4 Mar 2003 VOL 138 ISS 10
FILE LAST UPDATED: 3 Mar 2003 (20030303/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

'FIONA' IS DEFAULT FORMAT FOR 'CAPLUS' FILE

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L4 18 L3

=> d 1-18 ibib iabs hitstr

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:25780 CAPLUS

DOCUMENT NUMBER: 134:86548

TITLE: Preparation of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors

INVENTOR(S): Getman, Daniel P.; De Crescenzo, Gary A.; Freskos, John M.; Vazquez, Michael L.; Sikorski, James A.; Deyadas, Balakudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.

PATENT ASSIGNEE(S): G. D. Searle & Co., USA

SOURCE: U.S., 85 pp., Cont.-in-part of U. S. Ser. No. 402,419, abandoned

CODEN: USXXAM

DOCUMENT TYPE: Patent

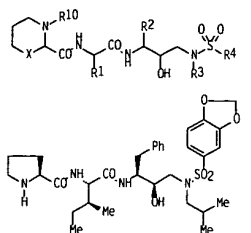
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6172101	B1	20010109	US 1998-894984	19980423
WO 9628465	A1	19960919	WO 1996-US2683	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
PRIORITY APPLN. INFO.: US 1995-402419 B2 19950310				
WO 1996-US2683 W 19960307				
US 1995-474117 A2 19950607				
OTHER SOURCE(S): HARPAT 134:86548				
GRAPHIC IMAGE:				

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



ABSTRACT:

Heterocyclylcarbonyl amino acids, such as I (R1 = alkyl, alkenyl, alkynyl, etc.; R2 = alkyl, arylalkyl, alkylthioalkyl, arylthioalkyl, etc.; R3 = alkyl, cycloalkyl; R4 = aryl, heteroaryl; R10 = H, alkyl, nitrogen protecting group, etc.; X = CH2, bond), were prepd. for pharmaceutical use as HIV protease inhibitors for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and treatment of a retroviral infection. Thus, II was prepd. by a multistep synthetic sequence starting from N-protected-L-phenylalanine, -L-isoleucine, -L-proline, isobutylamine, and 1,3-benzodioxole. The prepd. heterocyclylcarbonyl amino acids were tested via an HIV inhibition assay.

IT 183553-92-6P

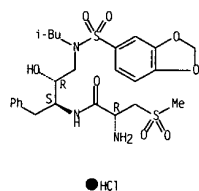
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOD (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



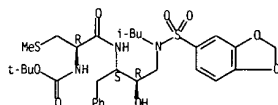
IT 183553-90-4P 183553-91-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

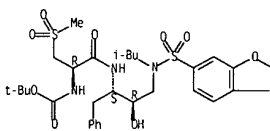


RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

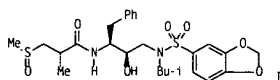


REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:10084 CAPLUS
 DOCUMENT NUMBER: 134:71903
 TITLE: Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balakudru; Nagarajan, Srinivasan; McDonald, Joseph J. G. D. Searle & Company, USA
 PATENT ASSIGNEE(S): U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEW: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6169085	B1	20010102	US 1999-411374	19991004
US 6380188	B1	20020430	US 2000-672449	20000929
PRIORITY APPLN. INFO.:				
			US 1995-401838	B2 19950310
			WO 1996-US2682	A1 19960307
			US 1997-913069	A1 19971219
			US 1999-411374	A1 19991004

OTHER SOURCE(S): MARPAT 134:71903
 GRAPHIC IMAGE:

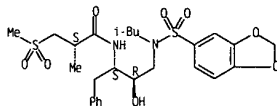


ABSTRACT:
 Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds.
 $RSS(O)t(CH_2)nCHR_1CONHCHR_2CH(OH)CH_2NR_3SO_2R_4$ ($R_1 = H$, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH_2CONH_2 , $CH_2CH_2CONH_2$, $CH_2SO_2NH_2$, CH_2SMe , CH_2SO_2Me ; $R_2 =$ alkyl, aralkyl, alkythioalkyl, arylthioalkyl, cycloalkylalkyl; $R_3 =$ alkyl, cycloalkyl, cycloalkylmethyl; $R_4 =$ benzo-fused heteroaryl or heterocyclyl; $R_5 =$ alkyl, alkenyl, alkynyl, aryl; $t = 0-2$) were prepd. as retroviral protease inhibitors. Thus, N-[[2R-hydroxy-3-[(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)]-(1,3-benzodioxol-5-yl)sulfonyl]propanamide-1S-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)propanamide was prepd. and assayed for HIV protease inhibitory activity ($IC_{50} = 2$ nM; $EC_{50} = 20$ nM). The corresponding

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
 methylsulfinyl deriv. 1 (claimed compd.) showed IC_{50} values 2 and 7 nM and EC_{50} values 52 and 80 nM for the two isomers.

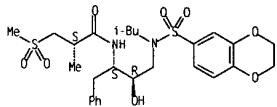
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 183004-74-2P 183004-75-3P 183004-76-4P
 183004-77-5P 183004-78-6P 183182-29-8P
 RL: BAC (Biological) activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOD (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-72-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

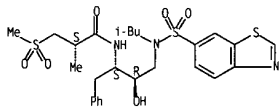
Absolute stereochemistry.



RN 183004-73-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

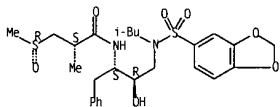
L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



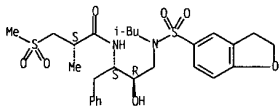
RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-75-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

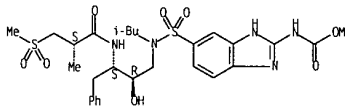
Absolute stereochemistry.



RN 183004-76-4 CAPLUS
 CN Carbanic acid, [5-[[[(2R,3S)-2-hydroxy-3-[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl]](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

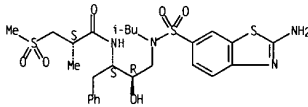
Absolute stereochemistry.

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



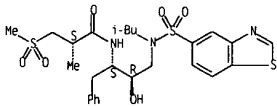
RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-78-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl]](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

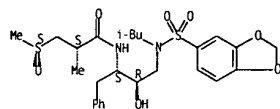
Absolute stereochemistry.



RN 183182-29-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



IT 183004-99-1P 183005-01-BP

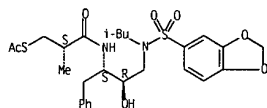
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of sulfonylalkanoilamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183004-99-1 CAPLUS

CN Ethanethioic acid, S-[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

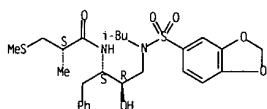
Absolute stereochemistry.



RN 183005-01-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:821607 CAPLUS

DOCUMENT NUMBER: 133:350519

TITLE:

Synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors

INVENTOR(S):

Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balakrishna; Nagarajan, Srinivasan Raj; Brown, David L.; McDonald, Joseph J.

PATENT ASSIGNEE(S):

SOURCE: G. D. Searle & Co., USA

U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6150556	A	20001121	US 1995-479071	19950607
CA 2215025	AA	19960919	CA 1996-2215025	19960307
WO 9628464	A1	19960919	WO 1996-US2685	19960307
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RW: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
AU 9653561	A1	19961002	AU 1996-53561	19960307
AU 704360	B2	19990422		
BR 9607543	A	19971223	BR 1996-7543	19960307
EP 813543	A1	19971229	EP 1996-610337	19960307
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CN 1196732	A	19981021	CN 1996-193618	19960307
JP 11501921	T2	19990216	JP 1996-527649	19960307
EP 1076062	A1	20010214	EP 2000-114911	19960307
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US 6388132	B1	20020514	US 2000-694783	20001024
PRIORITY APPLN. INFO.:				
			US 1995-402450	B2 19950310
			US 1995-479071	A 19950607
			EP 1996-910337	A3 19960307
			WO 1996-US2685	W 19960307
			US 1998-913096	A1 19980121
			US 2000-495334	A1 20000201

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

OTHER SOURCE(S): MARPAT 133:350519

ABSTRACT:

Peptides R13NHCH2CONHCH(R1)CONHCH(CH2Ph)(CH(OH)CH2N(Bu-1)SO2R4 (R1 = C1-5alkyl, C2-5alkynyl; R4 = aryl; R13 = aralkyl, cycloalkyl, alkoxyalkyl), including stereoisomers, pharmaceutically acceptable salts, and prodrugs, were prep. as retroviral protease inhibitors. Thus, compd. 2S-[[[(methylamino)acetyl]amino]-N-[2R-hydroxy-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3,3-dimethylbutanamide] was prep. and shown to be an effective HIV protease inhibitor (IC50 = 2 nM, EC50 = 18 nM).

IT 183553-90-4P 183553-91-5P 183553-92-6P

183553-93-7P

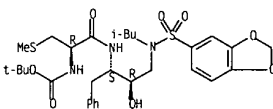
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

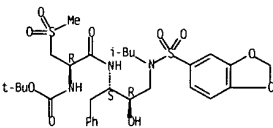
Absolute stereochemistry.



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

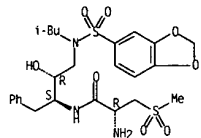


L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

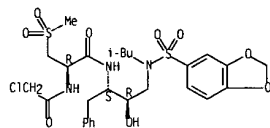


● HCl

RN 183553-93-7 CAPLUS

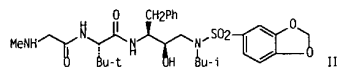
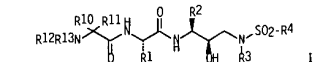
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



ABSTRACT:

Peptides I [R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolymethyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂SO₂NH₂, CH₂SO₂Me, CH₂SO₂(OMe), Me₂SO₂Me, Me₂SO₂(OMe), Me₂SO₂Me; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = aryl or benzo-fused 5-6 membered heteroaryl or heterocyclyl; R5 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = any group given for R10 or benzyl, imidazolymethyl, CH₂CH₂CONH₂, CH₂CONH₂, CH₂CH₂SO₂Me, CH₂SO₂Me or sulfone or sulfoxide derivs.; R12, R13 = H, alkyl, aralkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, aryl or heteroaryl, where cycloalkyl or heteroaryl may be benzo fused (with provisos)] were prep'd. as retroviral protease inhibitors. Thus, comp'd. II was prep'd. and shown to be an effective HIV protease inhibitor (IC₅₀ = 2 nM, EC₅₀ = 18 nM).

IT 183553-90-4P 183553-91-5P 183553-92-6P

183553-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of bis-amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:784383 CAPLUS

DOCUMENT NUMBER: 133:335463

TITLE: Synthesis of bis-amino acid hydroxyethylamino

sulfonamide retroviral protease inhibitors

INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John

N.; Vazquez, Michael L.; Sikorski, James A.; Devadas,

Belekudru; Nagarajan, Srinivasan Raj; Brown, David L.;

McDonald, Joseph J.

PATENT ASSIGNEE(S): G. D. Searle & Co., USA

SOURCE: U.S., 148 pp., Cont.-in-part of U.S. Ser. No. 402,450,

abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

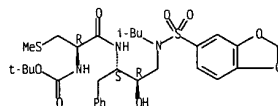
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6143788	A	20001107	US 1998-913096	19980121
WO 9628464	A1	19960919	WO 1996-US2685	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
EP 1188766	A1	20020320	EP 2001-129219	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
US 6388132	B1	20020514	US 2000-694783	20001024
PRIORITY APPLN. INFO.:				
			US 1995-402450	B2 19950310
			WO 1996-US2685	W 19960307
			US 1995-479071	A 19950607
			EP 1996-910337	A3 19960307
			US 1998-913096	A1 19980121
			US 2000-495334	A1 20000201

OTHER SOURCE(S): MARPAT 133:335463

GRAPHIC IMAGE:

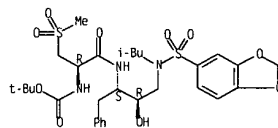
L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

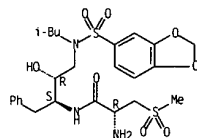
Absolute stereochemistry.



RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



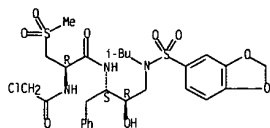
● HCl

RN 183553-93-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-
[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:769109 CAPLUS
DOCUMENT NUMBER: 133:322130
TITLE: Synthesis of benzo-fused heterocyclic sulfonyl chlorides for preparation of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors
INVENTOR(S): Kunda, Sastry A.; Letendre, Leo J.; De Crescenzo, Gary A.
PATENT ASSIGNEE(S): G. D. Searle & Co., USA
SOURCE: U.S., 95 pp., Cont.-in-part of U.S. 5,756,533.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6140505	A	20001031	US 1998-80928	19980519
US 5756533	A	19980526	US 1995-474052	19950607
EP 1258491	A1	20021120	EP 2002-11526	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
WO 9959989	A1	19991125	WO 1999-US7047	19990518
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9938604	A1	19991206	AU 1999-38604	19990518
US 6310080	B1	20011030	US 1999-451920	19991201
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		

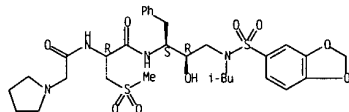
PRIORITY APPLN. INFO.:
US 1995-402287 B2 19950310
US 1995-474052 A2 19950607
EP 1996-907135 A3 19960307
US 1998-80928 A1 19980519
WO 1999-US7047 W 19990518
US 1999-451920 A3 19991201

OTHER SOURCE(S): CASREACT 133:322130; MARPAT 133:322130
ABSTRACT: Benzo-fused heterocyclic sulfonyl halides for the prepn. of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors were obtained by a

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
process comprising reacting a benzo-fused heterocyclic compd. with an SO3 complex in the presence of a water immiscible, non-reactive solvent at 0-75.degree., cooling, if necessary, to a temp. of from about -25.degree. to about 65.degree., and then adding oxalyl halide. Thus, N-[2R-hydroxy-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-2S-[(pyrrolidin-1-yl)acetyl]amino]-3,3-dimethylbutanamide was prepd. and shown to be an effective HIV protease inhibitor (IC50 = 3 nM, EC50 = 7 nM).

IT 183812-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(synthesis of benzo-fused heterocyclic sulfonyl chlorides for prepn. of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors)
RN 183812-50-2 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, (9C1) (CA INDEX NAME)

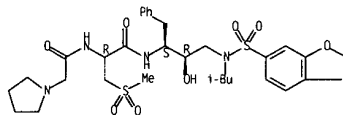
Absolute stereochemistry.



IT 183553-89-1P 183553-90-4P 183553-91-5P
183553-92-6P 183553-93-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of benzo-fused heterocyclic sulfonyl chlorides for prepn. of amino acid hydroxyethylamine sulfonamide retroviral protease inhibitors)
RN 183553-89-1 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9C1) (CA INDEX NAME)

Absolute stereochemistry.

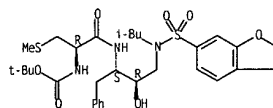
L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



●HC1

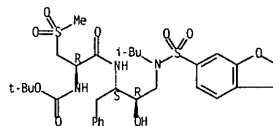
RN 183553-90-4 CAPLUS
CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-91-5 CAPLUS
CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

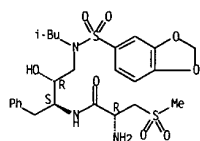
Absolute stereochemistry.



RN 183553-92-6 CAPLUS
CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-,

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

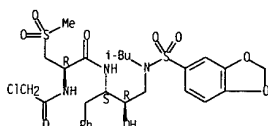
Absolute stereochemistry.



● HCl

RN 183553-93-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999:753229 CAPLUS
DOCUMENT NUMBER: 132:6692
TITLE: benzo fused heterocyclo sulfonyl halide intermediates for the preparation of amino acids as HIV protease inhibitors

INVENTOR(S): Kunda, Sastry A.; Letendre, Leo J.; De Crescenzo, Gary A.

PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: PCT Int. Appl., 221 pp.
CODEN: PIXX02

DOCUMENT TYPE: Patent
LANGUAGE: English

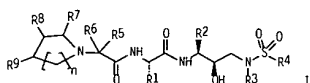
FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959989	A1	19991125	WO 1999-US7047	19990518
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MW, MX, NO, NZ, PL, PT, RD, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6140505	A	20001031	US 1998-80928	19980519
AU 9938604	A1	19991206	AU 1999-38604	19990518
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
PRIORITY APPL. INFO.:				
			US 1998-80928	A1 19980519
			US 1995-402287	B2 19950310
			US 1995-474052	A2 19950607
			WO 1999-US7047	W 19990518
			US 1999-451920	A3 19991201

OTHER SOURCE(S): MARPAT 132:6692
GRAPHIC IMAGE:

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



ABSTRACT:

Sulfonyl amino acids I (R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SO2Me, CMe2SMe, CMeSO2Me; R2 = alkyl, alkylthioalkyl, arylthioalkyl, cycloalkyl; R3 = alkyl, cycloalkyl, cycloalkylalkyl; R4 = substituted heterocycle, R5 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, alkylamide, sulfone, alkylthioalkyl; R7-R9 = H, substituted heteroaryl, benzo) were prepd. as HIV protease inhibitors. Process for prep. a benzo fused heterocyclo sulfonyl halide intermediate, comprising reacting a benzo fused heterocyclic compd. with a -SO3- complex in the presence of a solvent and then adding oxalyl halide. Thus, amino acid I (R1 = CHMeEt, R2 = Bn, R3 = CH2CHMe3, R4 = Ph, R5-E9 = H, n = 1) was prepd. and tested as HIV protease inhibitor (IC50 = 4 nM).

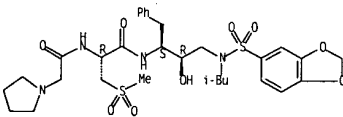
IT 183553-89-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzo fused heterocyclo sulfonyl halide intermediates for the prep. of amino acids as HIV protease inhibitors)

RN 183553-89-1 CAPLUS

CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

IT 183553-90-4P 183553-91-5P 183553-92-6P
183553-93-7P 183812-50-2P

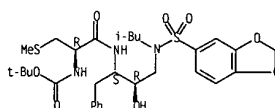
L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzo fused heterocyclo sulfonyl halide intermediates for the prep. of amino acids as HIV protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

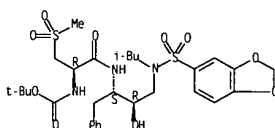
Absolute stereochemistry.



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

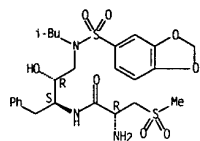


RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

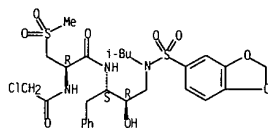
L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



● HCl

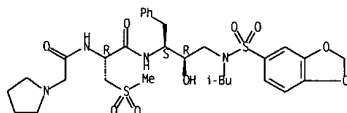
RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183812-50-2 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

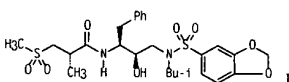


L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1999-733035 CAPLUS
 DOCUMENT NUMBER: 131:337352
 TITLE: Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 INVENTOR(S): Getman, Daniel P.; DeCrescenzo, Gary A.; Freskos, John M.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; McDonald, Joseph J.
 PATENT ASSIGNEE(S): G.D. Searle & Co., USA
 SOURCE: U.S., 59 pp., Cont.-in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5985870	A	19991116	US 1997-913069	19971219
WO 9628418	A1	19960919	WO 1996-US2682	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 6380188	B1	20020430	US 2000-672449	20000929
PRIORITY APPLN. INFO.:				
			US 1995-401838	B2 19950310
			WO 1996-US2682	W 19960307
			US 1995-478625	A2 19950607
			US 1997-913069	A1 19971219
			US 1999-411374	A1 19991004

OTHER SOURCE(S): MARPAT 131:337352
 GRAPHIC IMAGE:



ABSTRACT:
 Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds.
 R55(O)t(CH2)nCHR1CONHCHR2CH(OH)CH2NR3SO2R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SOMe, CH2SO2Me; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl,

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

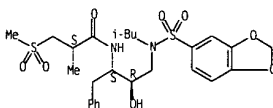
L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepd. as retroviral protease inhibitors. Thus, N-[(2R-hydroxy-3-[(2-methylpropyl)(1,3-benzodioxol-5-yl)sulfonyl]amino)-1S-(phenylmethyl)propyl]-2S-methyl-3-(methylsulfonyl)propanamide (I) was prepd. and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50 = 20 nM).

IT 174303-66-3P 183004-72-0P 183004-73-1P
 183004-74-2P 183004-78-6P 183182-29-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepr. of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

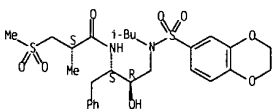
RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



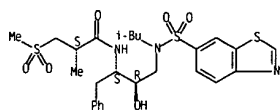
RN 183004-72-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



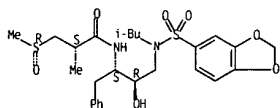
RN 183004-73-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



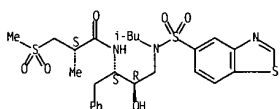
RN 183004-74-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



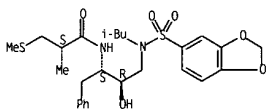
RN 183004-78-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



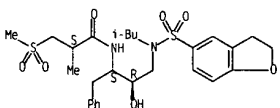
RN 183182-29-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



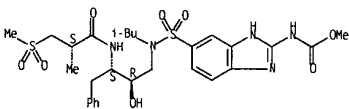
IT 183004-75-3P 183004-76-4P 183004-77-5P
RL SPN (Synthetic preparation); PREP (Preparation)
(prepn. of sulfonylalkanolamino hydroxyethylamino sulfonamide
retroviral protease inhibitors)
RN 183004-75-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-76-4 CAPLUS
CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[[[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI)
(CA INDEX NAME)

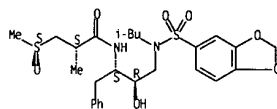
Absolute stereochemistry.



RN 183004-77-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

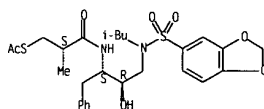
Absolute stereochemistry.



IT 183004-99-1P 183005-01-8P
RL RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. of sulfonylalkanolamino hydroxyethylamino sulfonamide
retroviral protease inhibitors)

RN 183004-99-1 CAPLUS
CN Ethanethioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

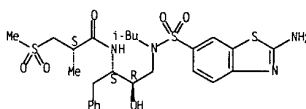


RN 183005-01-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1999-671016 CAPLUS
 DOCUMENT NUMBER: 131:286828
 TITLE: Preparation of amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors
 INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John M.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan R.; Brown, David L.; McDonald, Joseph J.
 PATENT ASSIGNEE(S): G. D. Searle & Co., USA
 SOURCE: U.S., 96 pp., Cont.-in-part of U.S. Ser. No. 402,287, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

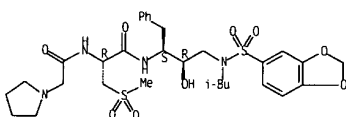
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968970	A	19991019	US 1998-894900	19980102
WO 9628463	A1	19960919	WO 1996-US2684	19960307

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA
 EP 1258491 A1 20021120 EP 2002-11526 19960307
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LT, LU, NL, SE, PT, IE, SI, LT, LV, FI
 US 200211368 A1 20020815 US 2001-836443 20010418
 US 6458785 B2 20021001

PRIORITY APPLN. INFO.:
 US 1995-402287 B2 19950310
 WO 1996-US2684 W 19960307
 US 1995-474052 A2 19950607
 EP 1996-907135 A3 19960307
 US 1999-451920 A3 19991201

OTHER SOURCE(S): MARPAT 131:286828
 GRAPHIC IMAGE:

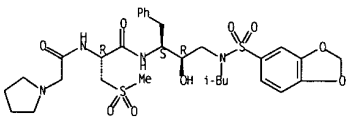
L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



●HCl

RN 183812-50-2 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

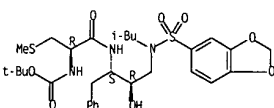
Absolute stereochemistry.



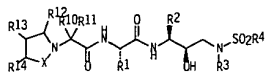
IT 183553-90-4P 183553-91-5P 183553-92-6P
 183553-93-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)

RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



ABSTRACT:
 Amino acid hydroxyethylamino sulfonamide compds. I [X = CH₂, CH₂CH₂; R₁ = alkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, or cyanoalkyl, imidazolymethyl, CH₂CONH₂, CH₂CH₂CONH₂, CH₂SO₂NH₂, CH₂SO₂Me, CH₂SO₂(OMe), CH₂SO₂Me, Me₂SO₂(OMe), Me₂SO₂Me; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = aryl, benzo-fused heteroaryl or heterocyclyl; R₁₀ = H, alkyl, hydroxy- or alkoxyalkyl; R₁₁ = H, alkyl, hydroxyalkyl, alkoxyalkyl, benzyl, imidazolymethyl, CH₂CH₂CONH₂, CH₂CONH₂, CH₂CH₂SO₂Me, CH₂SO₂(OMe), CH₂SO₂Me; R₁₂ = H, hydroxyalkyl, alkoxyalkyl; R₁₃, R₁₄ = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, or alkoxyalkyl or R₁₃ and R₁₄ together form (un)substituted benzo or heteroaryl or their pharmaceutically acceptable salts, prodrugs, or esters were prepd. as retroviral protease inhibitors. Thus, 2S-(pyrrolidinoacetamido)-N-[2R-hydroxy-3-[(1R)-2-methylpropyl]-N1-(2,3-dihydrobenzofuran-5-ylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3S-methylpentanamide was prepd. and showed IC₅₀ = 2 nM for inhibition of HIV protease.

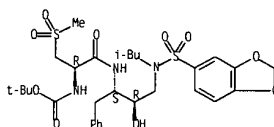
IT 183553-89-1P 183812-50-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid hydroxyethylamino sulfonamides as retroviral protease inhibitors)
 RN 183553-89-1 CAPLUS
 CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

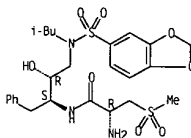
RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

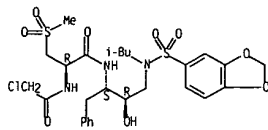


●HCl

RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS

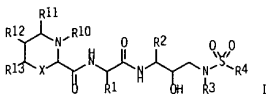
ACCESSION NUMBER: 1998:471469 CAPLUS
DOCUMENT NUMBER: 129:122867
TITLE: Heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
INVENTOR(S): Getman, Daniel P.; DeCrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balakrishna; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: U.S., 75 pp., Cont.-in-part of U. S. Ser. No. 402,419, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776971	A	19980707	US 1995-474117	19950607
CA 2215022	AA	19960919	CA 1996-2215022	19960307
WO 9628465	A1	19960919	WO 1996-252683	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
AU 9654178	A1	19961002	AU 1996-54178	19960307
AU 717598	B2	20000330		
EP 815124	A1	19980107	EP 1996-911230	19960307
EP 815124	B1	20021204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1183102	A	19980527	CN 1996-193619	19960307
JP 11501920	T2	19990216	JP 1996-527647	19960307
BR 9607625	A	19990615	BR 1996-7625	19960307
RU 2174519	C2	20011010	RU 1997-116523	19960307
AT 229033	E	20021215	AT 1996-911230	19960307
PL 184771	B1	20021231	PL 1996-322179	19960307
NO 9704147	A	19971104	NO 1997-4147	19970909
US 5972989	A	19991026	US 1998-28272	19980224
US 6063795	A	20000516	US 1999-307711	19990510
US 6214861	B1	20010410	US 2000-501265	20000209
US 6407134	B1	20020618	US 2001-775682	20010205
PRIORITY APPLN. INFO.:			US 1995-402419	B2 19950310
			US 1995-392305	B2 19950410
			US 1995-474117	A 19950607

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

WO 1996-252683 W 19960307
US 1998-28272 A1 19980224
US 1999-307711 A1 19990510
US 2000-501265 A1 20000209

OTHER SOURCE(S): MARPAT 129:122867
GRAPHIC IMAGE:



ABSTRACT:

Heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide compds. I (X = bond or CH₂; R₁ = H, alkyl, alkenyl, alkynyl, imidazolylmethyl, CH₂CONH₂, CH₂SO₂NH₂, etc.; R₂ = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R₃ = alkyl, cycloalkyl, cycloalkylmethyl; R₄ = aryl, benzo-fused heteroaryl or heterocyclyl, etc.; R₁₀ = H, alkyl, benzyl, phenylmethoxycarbonyl, tert-butoxycarbonyl, 4-methoxyphenylmethoxycarbonyl; R₁₁ = H, hydroxyalkyl, alkoxyalkyl; R₁₂, R₁₃ = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; or R₁₁ and R₁₂ or R₁₂ and R₁₃ are optionally substituted benzo radical) were prep. as retroviral protease inhibitors. Thus, 2S-[[[(pyrrolidin-2-yl)carbonyl]amino]-N-[2R-hydroxy-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-3,3-dimethylbutanamide was assayed for protease inhibitory activity (IC₅₀ = 2 nM, EC₅₀ = 12 nM).

IT 183553-90-4P 183553-91-5P

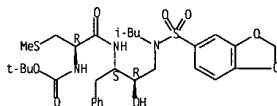
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.

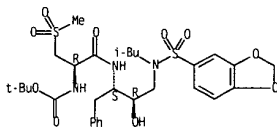
L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.



IT 183553-92-6P

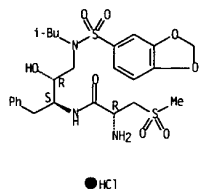
RL: SPN (Synthetic preparation); PREP (Preparation)
(heterocyclylcarbonyl amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS

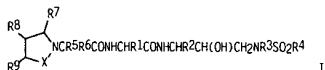
ACCESSION NUMBER: 1998:392091 CAPLUS
DOCUMENT NUMBER: 129:41411
TITLE: Preparation of amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors
INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: U.S., 93 pp., Cont.-in-part of U. S. Ser. No. 402,287, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5756533	A	19980526	US 1995-474052	19950607
CA 2215061	AA	19960919	CA 1996-2215061	19960307
WO 9628463	A1	19960919	WO 1996-US2684	19960307
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CH, GA				
AU 9650294	A1	19961002	AU 1996-50294	19960307
AU 705268	B2	19990520		
EP 813542	A1	19971229	EP 1996-907135	19960307
EP 813542	B1	20021016		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1186499	A	19980701	CN 1996-193620	19960307
JP 2001513746	T2	20010904	JP 1996-527648	19960307
AT 226213	E	20021115	AT 1996-907135	19960307
EP 1258491	A1	20021120	EP 2002-11526	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
PL 184748	B1	20021231	PL 1996-322784	19960307
NO 9704148	A	19971027	NO 1997-4148	19970909
US 5955601	A	19991012	US 1998-33897	19980303
US 6140505	A	20001031	US 1998-80928	19980519
US 6310080	B1	20011030	US 1999-451920	19991201
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		

L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

PRIORITY APPLN. INFO.:
US 1995-402287 B2 19950310
US 1995-474052 A 19950607
EP 1996-907135 A3 19960307
WO 1996-US2684 W 19960307
US 1998-80928 A1 19980519
US 1999-451920 A3 19991201

OTHER SOURCE(S): MARPAT 129:41411
GRAPHIC IMAGE:



ABSTRACT:

Amino acid hydroxyethylamino sulfonamide compds. I (X = CH2 or CH2CH2; R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2SMe, CH2SMe or their sulfone or sulfoxide deriv.; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = aryl, benzo-fused heteroaryl or heterocyclyl; R5 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, benzyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2CH2SMe, CH2SMe or their sulfone or sulfoxide deriv.; R7 = H, hydroxyalkyl, alkoxyalkyl; R8, R9 = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; or R7 and R8 or R8 and R9 form a heteroaryl or benzo radical) were prepd. as retroviral protease inhibitors. Thus, 2S-[(pyrrolidin-1-yl)acetyl]amino-N-[(2R-hydroxy-3-[N1-(2-methylpropyl)-N1-(2,3-dihydrobenzofuran-5-ylsulfonyl)amino]-1S-(phenylmethyl)propyl]-3S-methylpentanamide, prepd. from N-[3S-benzoyloxycarbonylamino-2R-hydroxy-4-phenylbutyl]-N-isobutylamine, tert-Bu bromoacetate, pyrrolidine, and 2,3-dihydrofuran, showed HIV protease inhibitory activity [C50 = 2 nM].

IT 183553-89-1P 183812-50-2P

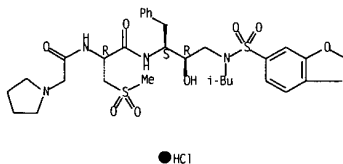
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-89-1 CAPLUS

CN 1-Pyrrolidinacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

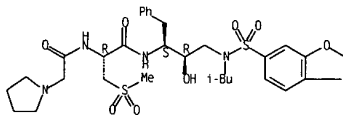
L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183812-50-2 CAPLUS

CN 1-Pyrrolidinacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183553-90-4P 183553-91-5P 183553-92-6P

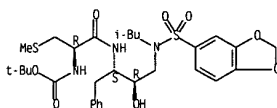
183553-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of amino acid hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

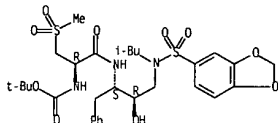


L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 183553-91-5 CAPLUS

CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

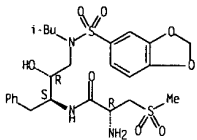
Absolute stereochemistry.



RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●HC1

RN 183553-93-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:324825 CAPLUS

DOCUMENT NUMBER: 129:16390

TITLE: Preparation of substituted sulfonylalkanolamino hydroxyethylamino sulfonamide retroviral protease inhibitors

INVENTOR(S): Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J.

PATENT ASSIGNEE(S): G. D. Searle & Co., USA

SOURCE: U.S., 131 pp.

DOCUMENT TYPE: CODEN: USXXAM

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English

PATENT INFORMATION: 1

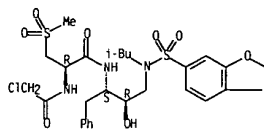
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5753660	A	19980519	US 1996-747357	19961113
PRIORITY APPLN. INFO.: US 1996-747357 19961113				
OTHER SOURCE(S): MARPAT 129:16390				

ABSTRACT:

Sulfonylalkanolamino hydroxyethylamino sulfonamides
 $R_5S(O)m(CH_2)nCH(R_1C(W)NHCH_2R_2CH(OH)CH_2NR_3SO_2R_4$ (W = O, S; m, n = 0, 1, or 2; R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, NH_2COCH_2 , $NH_2COCH_2CH_2$, $NH_2SO_2CH_2$, $MeSCH_2$, $MeSOCH_2$, $MeSO_2CH_2$; R2 = alkyl, aralkyl, alkythioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylalkyl; R4 = aryl, heteroaryl, heterocyclyl; R5 = heteroaryl, heterocyclyl) were prepd. as retroviral protease inhibitors. Thus, N-[(2R)-hydroxy-3-[(2-methylpropyl)(1,3-benzodioxol-5-ylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-methyl-3-[2-(2-pyridyl)ethylsulfonyl]propanamide was prepd. by alkylation of the corresponding 3-mercaptopropanamide with 2-(2-chloroethyl)pyridine hydrochloride, followed by S-oxidn. The product was assayed for HIV protease inhibitory activity (IC50 = 3 nM, EC50 = 6 nM).

IT 191412-75-6P 191412-76-7P 191412-78-9P
 191412-79-0P 191412-80-3P 191412-81-4P
 191412-82-5P 191412-83-6P 191412-87-0P
 191412-88-1P 191412-91-6P 191412-92-7P
 191412-93-8P 191412-95-0P 191412-96-1P
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L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

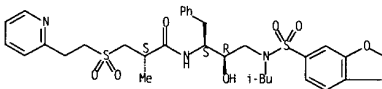
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

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 207686-83-7P 207686-84-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of sulfonylalkanolamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 191412-75-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

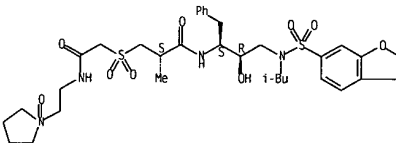
Absolute stereochemistry.



RN 191412-76-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(1-oxido-1-pyrrolidinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

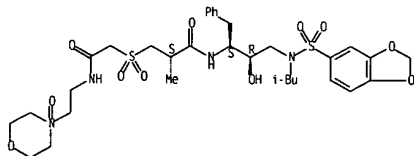


L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 191412-78-9 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

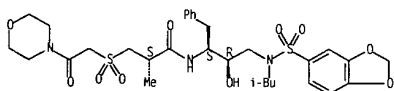
Absolute stereochemistry.



RN 191412-79-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



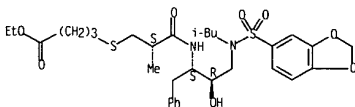
RN 191412-80-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(1-piperazinyl)ethyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
oxopropyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

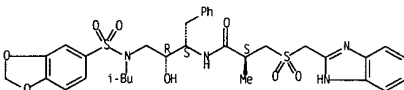
Absolute stereochemistry.



RN 191412-87-0 CAPLUS

CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)sulfonyl]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

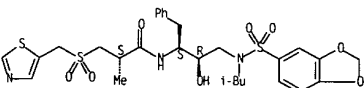
Absolute stereochemistry.



RN 191412-88-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

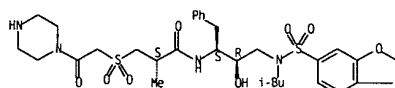


RN 191412-91-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

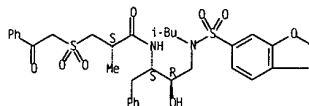


● HCl

RN 191412-81-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

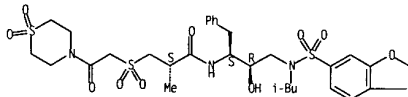
Absolute stereochemistry.



RN 191412-82-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

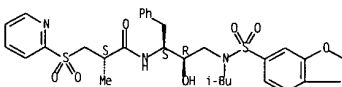
Absolute stereochemistry.



RN 191412-83-6 CAPLUS

CN Butanoic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-

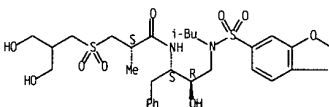
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191412-92-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

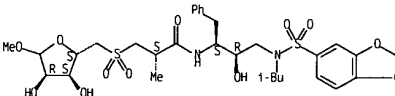
Absolute stereochemistry.



RN 191412-93-8 CAPLUS

CN D-Ribofuranoside, methyl 5-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

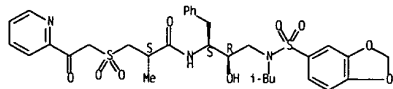


RN 191412-95-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

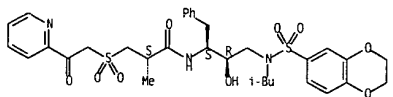
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191412-96-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

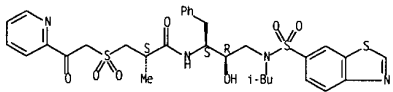
Absolute stereochemistry.



RN 191412-97-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

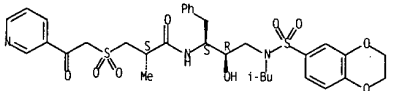


RN 191412-99-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

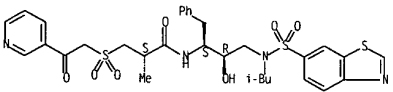
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-03-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

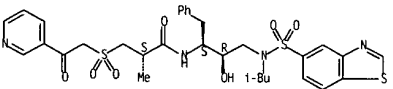
Absolute stereochemistry.



RN 191413-04-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

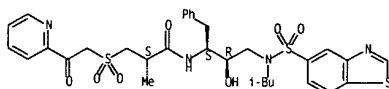


RN 191413-05-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

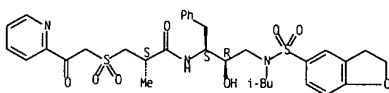
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-00-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

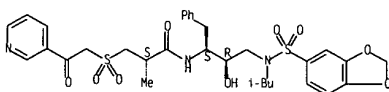
Absolute stereochemistry.



RN 191413-01-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

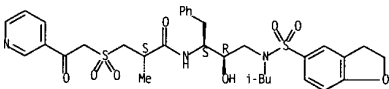


RN 191413-02-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

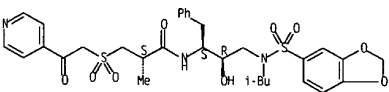
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-06-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

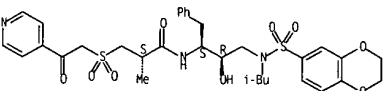
Absolute stereochemistry.



RN 191413-07-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

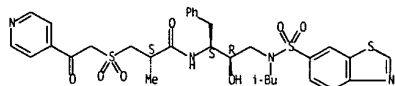


RN 191413-08-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

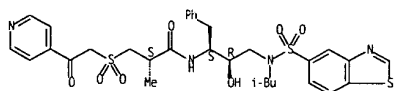
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-09-9 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

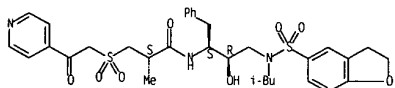
Absolute stereochemistry.



RN 191413-10-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[2-(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

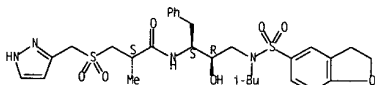


RN 191413-11-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[2-(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[1H-pyrazol-3-ylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

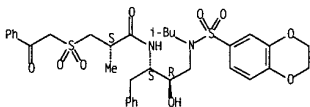
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-15-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[2-(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[2-oxo-2-phenylethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

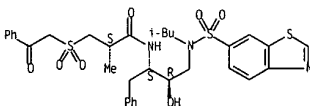
Absolute stereochemistry.



RN 191413-16-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

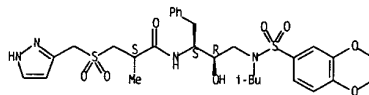


RN 191413-17-9 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

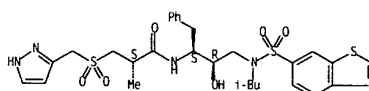
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-12-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

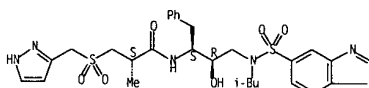
Absolute stereochemistry.



RN 191413-13-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

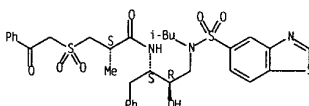


RN 191413-14-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[2-(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

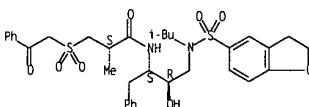
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-18-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[2-(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[2-oxo-2-phenylethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

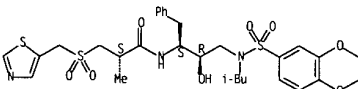
Absolute stereochemistry.



RN 191413-19-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[2-(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

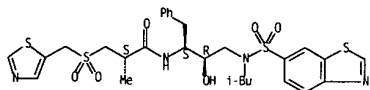


RN 191413-20-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

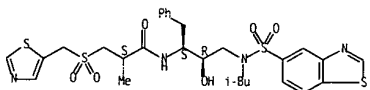
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-22-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

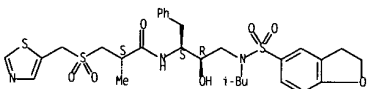
Absolute stereochemistry.



RN 191413-24-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

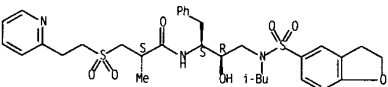


RN 191413-26-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

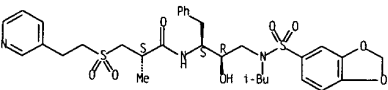
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-36-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

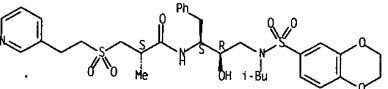
Absolute stereochemistry.



RN 191413-38-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

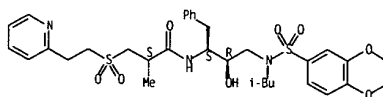


RN 191413-40-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

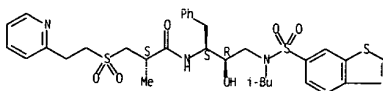
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-29-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

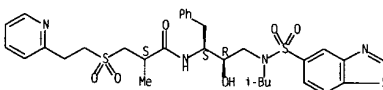
Absolute stereochemistry.



RN 191413-31-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

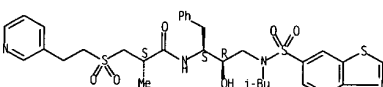


RN 191413-34-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

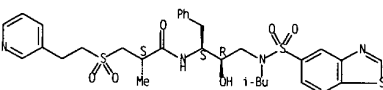
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-43-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

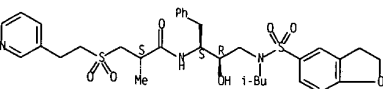
Absolute stereochemistry.



RN 191413-45-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

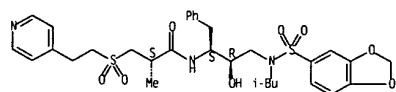


RN 191413-47-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-pyridinyl)ethyl)sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

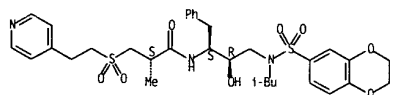
Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



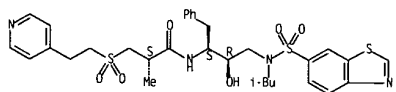
RN 191413-49-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-50-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

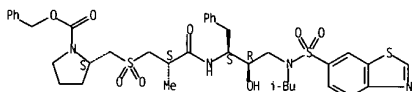
Absolute stereochemistry.



RN 191413-51-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

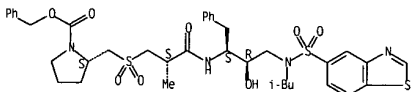
Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



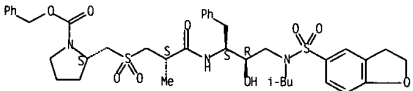
RN 191413-58-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-61-3 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

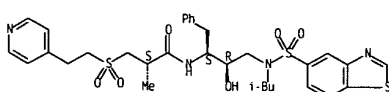
Absolute stereochemistry.



RN 191413-62-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

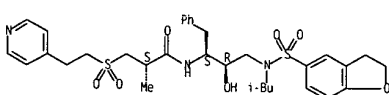
Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



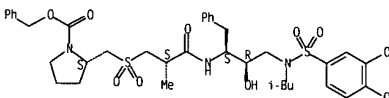
RN 191413-53-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-56-6 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

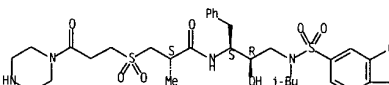
Absolute stereochemistry.



RN 191413-57-7 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

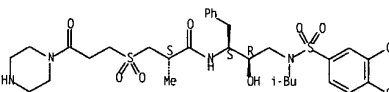
Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



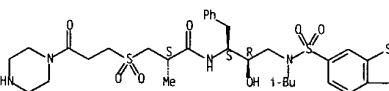
RN 191413-64-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-65-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

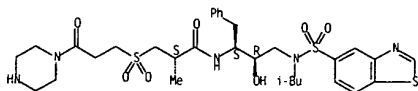
Absolute stereochemistry.



RN 191413-66-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

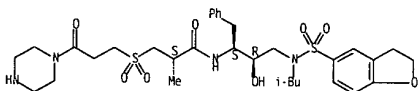
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-67-9 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

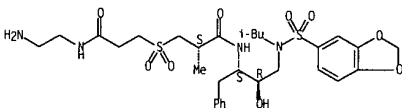
Absolute stereochemistry.



RN 191413-68-0 CAPLUS

CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

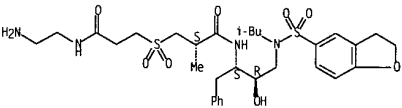


RN 191413-69-1 CAPLUS

CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

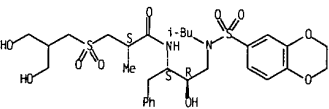
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-73-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

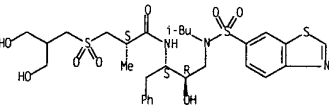
Absolute stereochemistry.



RN 191413-75-9 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

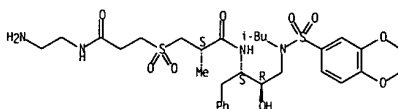


RN 191413-77-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

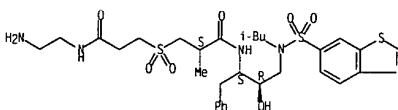
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-70-4 CAPLUS

CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

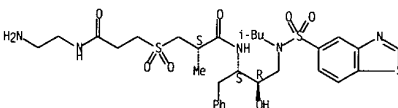
Absolute stereochemistry.



RN 191413-71-5 CAPLUS

CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

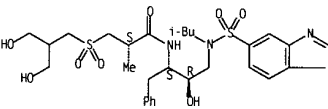


RN 191413-72-6 CAPLUS

CN Propanamide, 3-[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

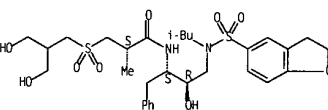
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-79-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

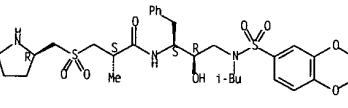
Absolute stereochemistry.



RN 191413-82-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

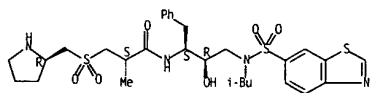


RN 191413-84-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

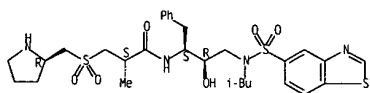
Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



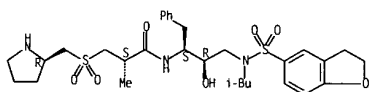
RN 191413-86-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-88-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

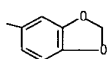


RN 191414-00-3 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

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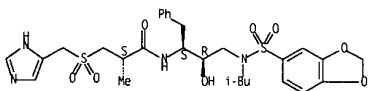


RN 207686-80-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191412-84-7
 CMF C29 H38 N4 O8 S2

Absolute stereochemistry.



CM 2

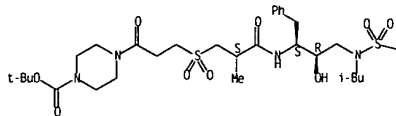
CRN 76-05-1
 CMF C2 H F3 O2



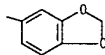
RN 207686-81-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-2-methyl-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

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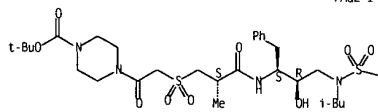
PAGE 1-B



RN 191414-06-9 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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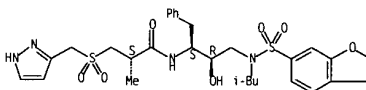


L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

CM 1

CRN 191412-85-8
 CMF C29 H38 N4 O8 S2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CMF C2 H F3 O2

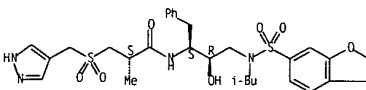


RN 207686-82-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 191412-86-9
 CMF C29 H38 N4 O8 S2

Absolute stereochemistry.



CM 2

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

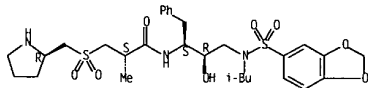
CRN 76-05-1
CMF C2 H F3 O2

RN 207686-83-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9C1) (CA INDEX NAME)

CM 1

CRN 191412-90-5
CMF C30 H43 N3 O8 S2

Absolute stereochemistry.



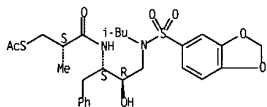
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 207686-84-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

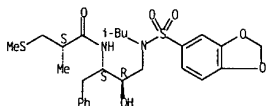
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9C1) (CA INDEX NAME)

Absolute stereochemistry.



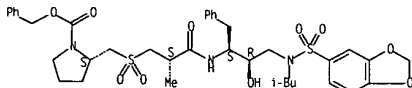
RN 183005-01-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-54-4 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester. (2S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



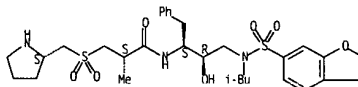
RN 191413-92-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]thio]-, (2S)- (9C1) (CA INDEX NAME)

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2S)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)-, mono(trifluoroacetate) (salt) (9C1) (CA INDEX NAME)

CM 1

CRN 191412-89-2
CMF C30 H43 N3 O8 S2

Absolute stereochemistry.



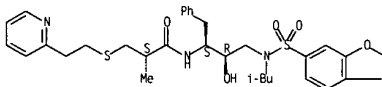
CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 183004-99-1P 183005-01-8P 191413-54-4P
191413-92-0P 191413-94-2P 191413-98-6P
191414-04-7P 191414-05-8P 191414-07-0P
191414-09-2P 191414-10-5P 191414-11-6P
191414-12-7P 191414-13-8P 191414-15-0P
191414-18-3P 191414-21-8P 191414-24-1P
191414-26-3P 191414-27-4P 191414-28-5P
191486-19-8P 191486-20-1P 207686-74-6P
207686-75-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

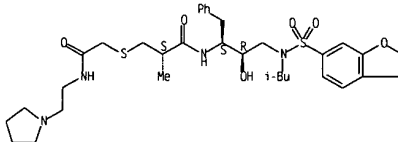
RN 183004-99-1 CAPLUS
CN Ethanedithioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
Absolute stereochemistry.



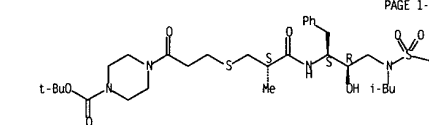
RN 191413-94-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-[[2-(1-pyrrolidinyl)ethyl]amino]ethyl]thio]-, (2S)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-98-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

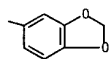
Absolute stereochemistry.



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L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

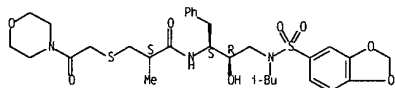
PAGE 1-B



RN 191414-04-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

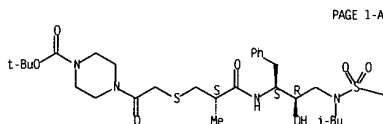
Absolute stereochemistry.



RN 191414-05-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

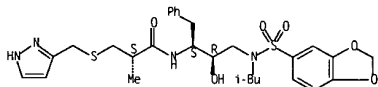
Absolute stereochemistry.



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L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

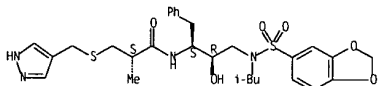
Absolute stereochemistry.



RN 191414-11-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

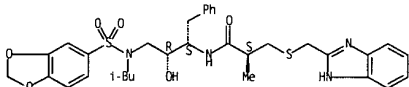
Absolute stereochemistry.



RN 191414-12-7 CAPLUS

CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)thio]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



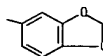
RN 191414-13-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

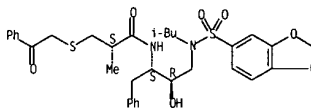
PAGE 1-B



RN 191414-07-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

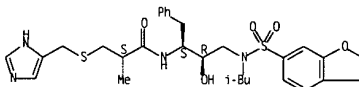
Absolute stereochemistry.



RN 191414-09-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

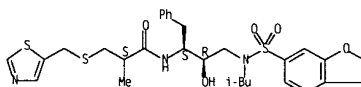
Absolute stereochemistry.



RN 191414-10-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

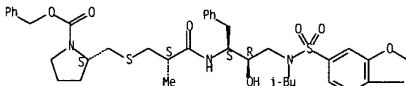
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191414-15-0 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

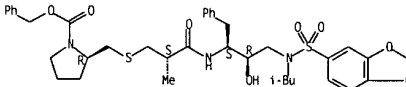
Absolute stereochemistry.



RN 191414-18-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

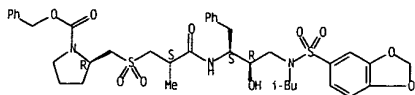


RN 191414-21-8 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonylmethyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

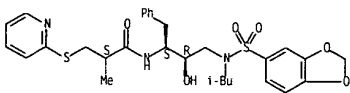
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191414-24-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-(2-pyridinylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

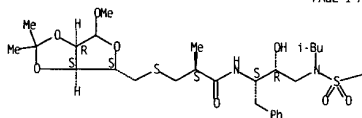


RN 191414-26-3 CAPLUS

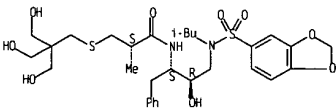
CN D-Ribofuranoside, methyl 5-S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]amino]-2-methyl-3-oxopropyl]-2,3-O-(1-methylethylidene)-5-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



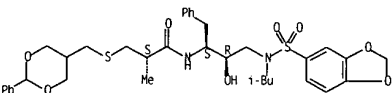
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191486-19-8 CAPLUS

CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(2-phenyl-1,3-dioxan-5-yl)methyl]thio]-, [5S(1S,2R)]-[partial]- (9CI) (CA INDEX NAME)

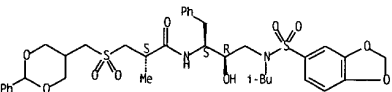
Absolute stereochemistry.



RN 191486-20-1 CAPLUS

CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[(2-phenyl-1,3-dioxan-5-yl)methyl]sulfonyl]-, [5S(1S,2R)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



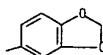
RN 207686-74-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[2-[(2-(4-morpholinyl)ethyl)amino]-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-B

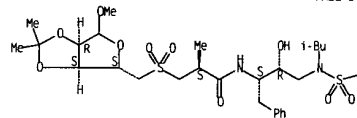


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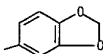
CN D-Ribofuranoside, methyl 5-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]amino]-2-methyl-3-oxopropyl]-5-deoxy-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

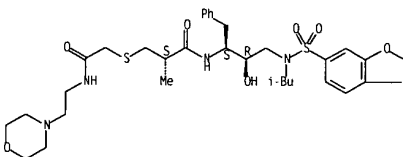


RN 191414-28-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-3-[[3-hydroxy-2,2-bis(hydroxymethyl)propyl]thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

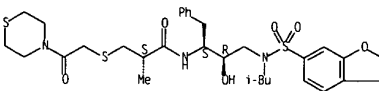
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 207686-75-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-thiomorpholinyl)ethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 174303-66-3P 183004-74-2P 183004-77-5P

183182-29-8P 191412-77-8P 191412-84-7P

191412-85-8P 191412-86-9P 191412-89-2P

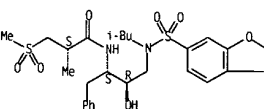
191412-90-5P 191412-94-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)

RN 174303-66-3 CAPLUS

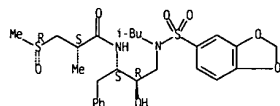
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



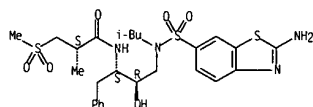
L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



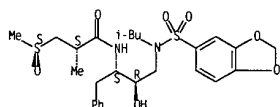
RN 183004-77-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

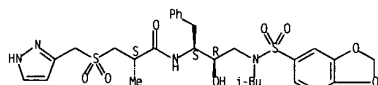


RN 183182-29-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

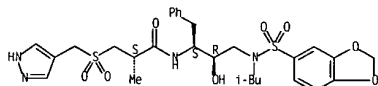


L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



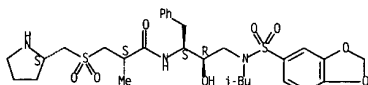
RN 191412-86-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-89-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2S)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



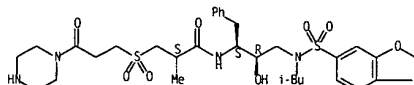
RN 191412-90-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 191412-77-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(3-oxo-3-(1-piperazinyl)propyl)sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

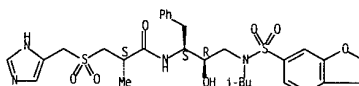
Absolute stereochemistry.



● HCl

RN 191412-84-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

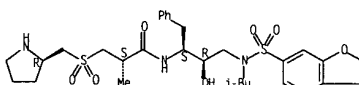
Absolute stereochemistry.



RN 191412-85-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

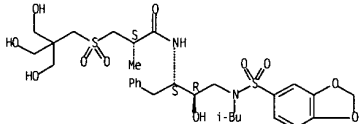
Absolute stereochemistry.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191412-94-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(3-hydroxy-2,2-bis(hydroxymethyl)propyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1998:41716 CAPLUS
 DOCUMENT NUMBER: 128:115228
 TITLE: Preparation of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors
 INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; McDonald, Joseph J.
 PATENT ASSIGNEE(S): G.D. Searle + Co., USA
 SOURCE: U.S., 57 pp., Cont., in-part of U.S. Ser. No. 401,838, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5705500	A	19980106	US 1995-478625	19950607
CA 2215066	AA	19960919	CA 1996-2215066	19960307
WO 9628418	A1	19960919	WO 1996-US2682	19960307

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA

AU 9666951	A1	19961002	AU 1996-66951	19960307
AU 711098	B2	19991007		
EP 813519	A1	19971229	EP 1996-911229	19960307
EP 813519	B1	20010509		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI

CN 1183767	A	19980603	CN 1996-193609	19960307
BR 9607450	A	19980630	BR 1996-7450	19960307
JP 11503414	T2	19990326	JP 1996-527646	19960307
EP 1052250	A1	20001115	EP 2000-114155	19960307

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI

AT 201014	E	20010515	AT 1996-911229	19960307
ES 2157437	T3	20010816	ES 1996-911229	19960307
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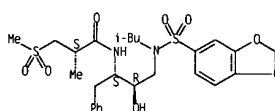
PRIORITY APPLN. INFO.: US 1995-401838 B2 19950310
 US 1995-478625 A 19950607
 EP 1996-911229 A3 19960307
 WO 1996-US2682 W 19960307

OTHER SOURCE(S): MARPAT 128:115228

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
 ABSTRACT:
 Sulfonylalkanoylamino hydroxyethylamino sulfonamide compds.
 R5S(O)1(CH2)nCH(R1)CONHCH2CH(OH)CH2NR3SO2R4 (R1 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, CH2CONH2, CH2CH2CONH2, CH2SO2NH2, CH2SMe, CH2SO2Me, CH2SO2NH2; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = benzo-fused heteroaryl or heterocyclyl; n, t = 0-2) were prepd. as retroviral protease inhibitors. Thus, N-[(2R-hydroxy-3-[(2-methylpropyl)(1,3-benzodioxol-5-yl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)propanamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 2 nM; EC50 = 20 nM).

IT 174303-66-3P 183004-72-0P 183004-73-1P
 183004-74-2P 183004-78-6P 183182-29-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 174303-66-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

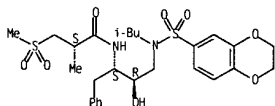
Absolute stereochemistry.



RN 183004-72-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

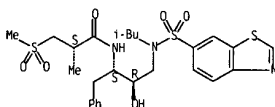
Absolute stereochemistry.

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



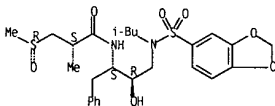
RN 183004-73-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-74-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R-methylsulfinyl)-, (2S)- (9CI) (CA INDEX NAME)

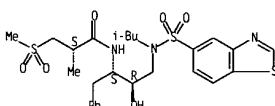
Absolute stereochemistry.



RN 183004-78-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

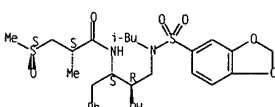
Absolute stereochemistry.

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



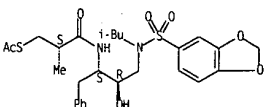
RN 183182-29-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S-methylsulfinyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183004-99-1P 183005-01-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonamide retroviral protease inhibitors)
 RN 183004-99-1 CAPLUS
 CN Ethanethioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

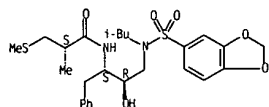
Absolute stereochemistry.



RN 183005-01-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

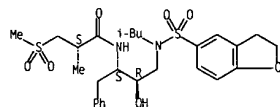
Absolute stereochemistry.



IT 183004-75-3P 183004-76-4P 183004-77-5P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of sulfonylalkanoylamino hydroxyethylamino sulfonamide
 retroviral protease inhibitors)

RN 183004-75-3 CAPLUS
 CN Propanamide, N-[[[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183004-76-4 CAPLUS
 CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[[[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:450087 CAPLUS

DOCUMENT NUMBER: 127:65754

TITLE: Preparation of N-[2-(2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl)aryl]sulfonamides and analogs as retroviral protease inhibitors
 INVENTOR(S): Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Hwang-fun; McDonald, Joseph J.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Sikorski, James A.; Getman, Daniel P.; Decrescenzo, Gary A.; Devadas, Balekudru; Freskos, John N.; Lu, Hwang-Fun; McDonald, Joseph J.
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

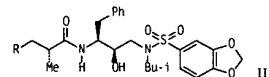
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9718205	A1	19970522	WO 1996-US17771	19961113
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236236	AA	19970522	CA 1996-2236236	19961113
AU 9677222	A1	19970605	AU 1996-77222	19961113
EP 861249	A1	19980902	EP 1996-940302	19961113
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000515488	T2	20001121	JP 1997-518913	19961113
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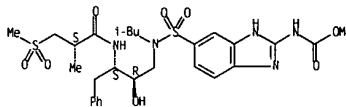
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GRAPHIC IMAGE:



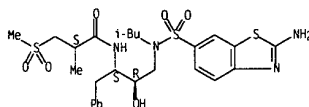
ABSTRACT:

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183004-77-5 CAPLUS
 CN Propanamide, N-[[[(1S,2R)-3-[[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

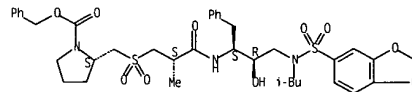
RSot(CH2)nC(=O)NHCHR2CH(OH)CH2NR3SO2R4 [I: R1 = H, (unsubstituted alkyl, alkenyl, etc.); R2 = (ar)alkyl, alkylthioalkyl, arylthioalkyl, etc.; R3 = (cyclo)alkyl, cycloalkylalkyl, etc.; R5 = heterocyclyl(alkyl), (hetero)aryl(alkyl), etc.; W = O or S; n,t = 0-2] were prepd. Thus, (2R,3S)-PhCH2O2CNHCH(CH2Ph)CH(OH)CH2NHCH2CHMe2 (prepn. given) was amidated by benzodioxole-5-sulfonyl chloride and the deprotected product amidated by (S)-AcSCH2CHMeCO2H to give, after deprotection, sulfonamide II (R = SH). The latter was S-alkylated by 2-(2-chloroethyl)pyridine (prepn. given) and the product oxidized to give II [R = 2-(2-pyridyl)methylsulfonyl]. Data for biol. activity of I were given.

IT 191413-54-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (prepn. of N-[2-(2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl)aryl]sulfonamides and analogs as retroviral protease inhibitors)

RN 191413-54-4 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[[(1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 174303-66-3P 183004-74-2P 183004-77-5P

183182-29-8P 191412-75-6P 191412-76-7P

191412-77-8P 191412-78-9P 191412-79-0P

191412-80-3P 191412-81-4P 191412-82-5P

191412-83-6P 191412-84-7P 191412-85-8P

191412-86-9P 191412-87-0P 191412-88-1P

191412-89-2P 191412-90-5P 191412-91-6P

191412-92-7P 191412-93-8P 191412-94-9P

191412-95-0P 191412-96-1P 191412-97-2P

191412-99-4P 191413-00-0P 191413-01-1P

191413-02-2P 191413-03-3P 191413-04-4P

191413-05-5P 191413-06-6P 191413-07-7P

191413-08-8P 191413-09-9P 191413-10-2P

191413-11-3P 191413-12-4P 191413-13-5P

191413-14-6P 191413-15-7P 191413-16-8P

191413-17-9P 191413-18-0P 191413-19-1P

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L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

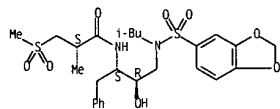
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 191413-77-1P 191413-79-3P 191413-82-8P
 191413-84-0P 191413-86-2P 191413-88-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanoylamino)butyl]arylsulfonamides and analogs as retroviral protease inhibitors)

RN 174303-66-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



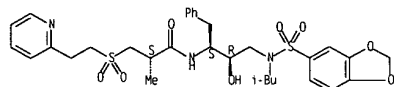
RN 183004-74-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

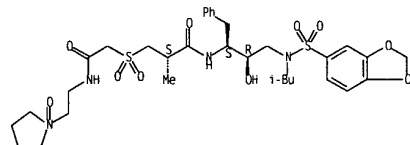
Absolute stereochemistry.



RN 191412-76-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(2-oxido-1-pyrrolidinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

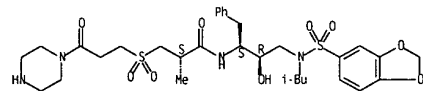
Absolute stereochemistry.



RN 191412-77-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(1-piperazinyl)propyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

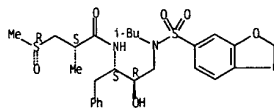


●HCl

RN 191412-78-9 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[[2-

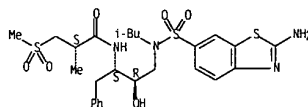
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183004-77-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

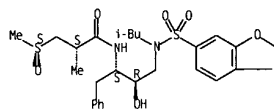
Absolute stereochemistry.



RN 183182-29-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-methylsulfinyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



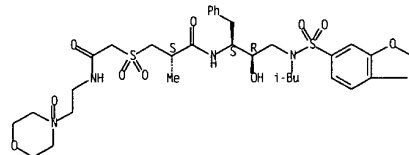
RN 191412-75-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

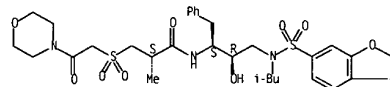
Absolute stereochemistry.



RN 191412-79-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

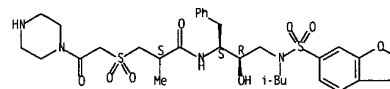
Absolute stereochemistry.



RN 191412-80-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(1-piperazinyl)ethyl]sulfonyl]-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



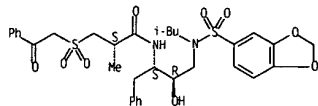
●HCl

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 191412-81-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

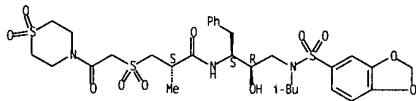
Absolute stereochemistry.



RN 191412-82-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

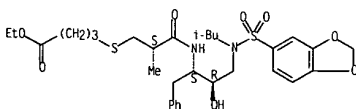
Absolute stereochemistry.



RN 191412-83-6 CAPLUS

CN Butanoic acid, 4-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

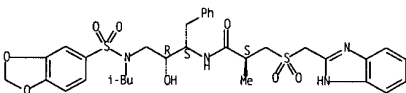
Absolute stereochemistry.



L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)sulfonyl]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

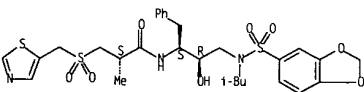
Absolute stereochemistry.



RN 191412-88-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

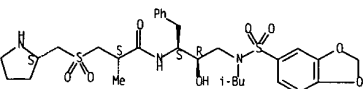
Absolute stereochemistry.



RN 191412-89-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2S)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-90-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2R)-2-pyrrolidinylmethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

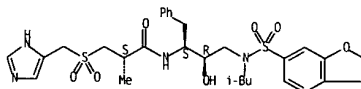
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

RN 191412-84-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

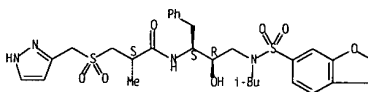
Absolute stereochemistry.



RN 191412-85-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

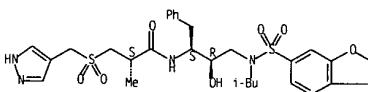
Absolute stereochemistry.



RN 191412-86-9 CAPLUS

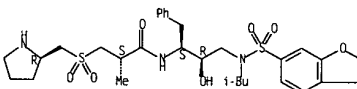
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-87-0 CAPLUS

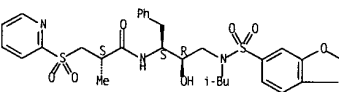
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191412-91-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

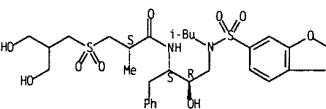
Absolute stereochemistry.



RN 191412-92-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

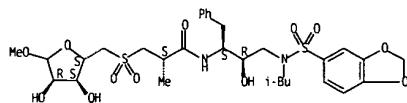


RN 191412-93-8 CAPLUS

CN D-Ribofuranoside, methyl 5-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy-, (9CI) (CA INDEX NAME)

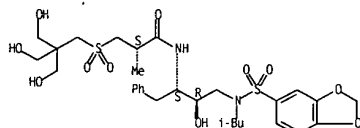
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



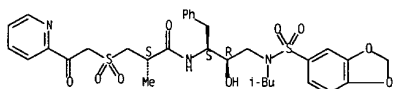
RN 191412-94-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-bis(hydroxymethyl)propyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-95-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

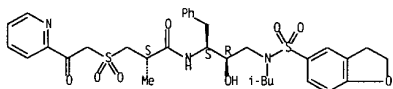
Absolute stereochemistry.



RN 191412-96-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

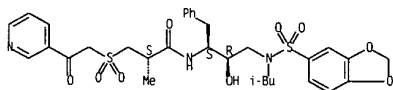
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



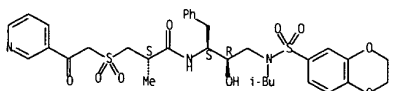
RN 191413-01-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-02-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

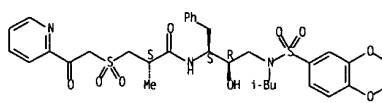
Absolute stereochemistry.



RN 191413-03-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

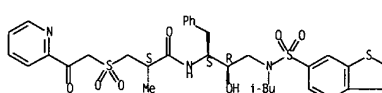
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



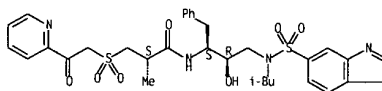
RN 191412-97-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191412-99-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(2-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

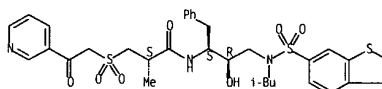
Absolute stereochemistry.



RN 191413-00-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[2,3-dihydro-5-benzofuranyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

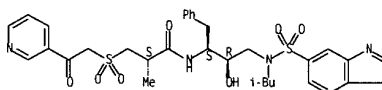
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



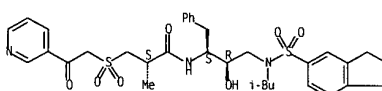
RN 191413-04-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-05-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[2,3-dihydro-5-benzofuranyl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(3-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

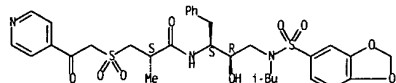
Absolute stereochemistry.



RN 191413-06-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl]-(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl]sulfonyl]-. (2S)- (9CI) (CA INDEX NAME)

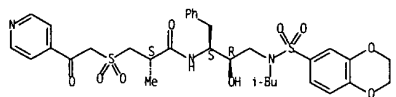
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



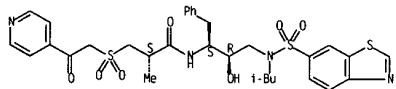
RN 191413-07-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-08-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

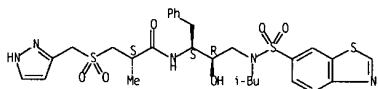
Absolute stereochemistry.



RN 191413-09-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

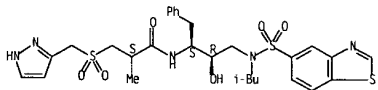
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



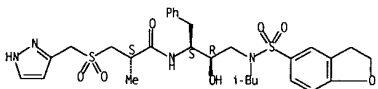
RN 191413-13-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-14-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

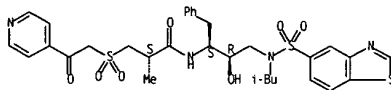
Absolute stereochemistry.



RN 191413-15-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

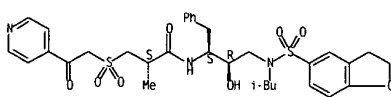
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



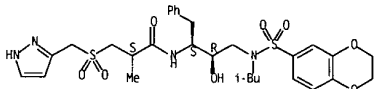
RN 191413-10-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-(4-pyridinyl)ethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-11-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

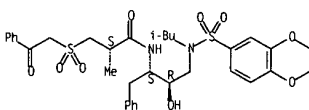
Absolute stereochemistry.



RN 191413-12-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

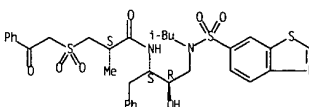
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



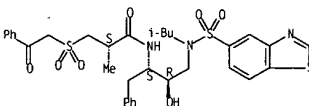
RN 191413-16-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-17-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

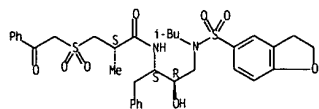
Absolute stereochemistry.



RN 191413-18-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

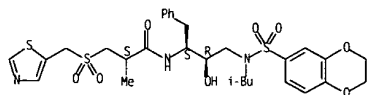
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



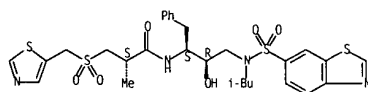
RN 191413-19-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-20-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

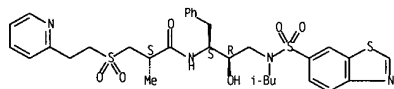
Absolute stereochemistry.



RN 191413-22-6 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

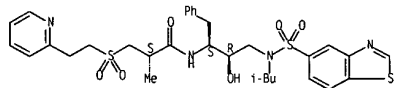
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



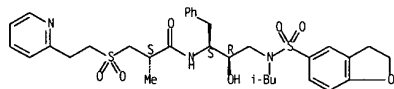
RN 191413-31-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-34-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

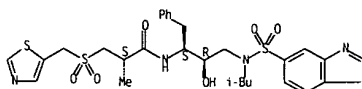
Absolute stereochemistry.



RN 191413-36-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

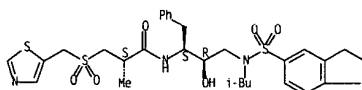
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



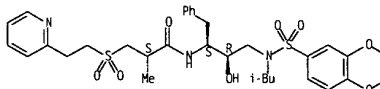
RN 191413-24-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-26-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

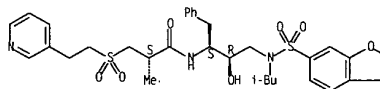
Absolute stereochemistry.



RN 191413-29-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(2-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

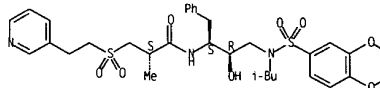
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



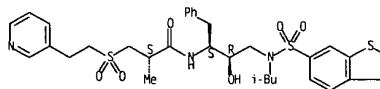
RN 191413-38-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-40-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

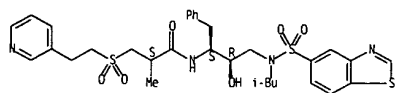
Absolute stereochemistry.



RN 191413-43-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(3-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

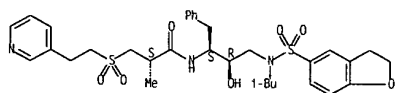
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-45-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

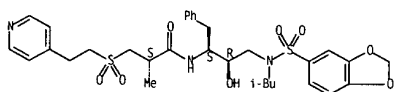
Absolute stereochemistry.



RN 191413-47-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

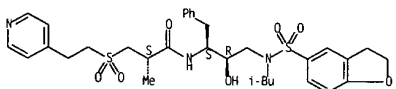


RN 191413-49-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

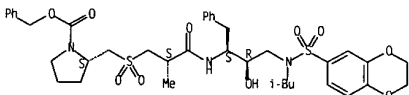
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-56-6 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

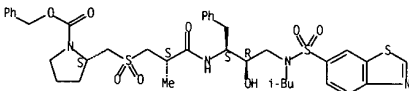
Absolute stereochemistry.



RN 191413-57-7 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

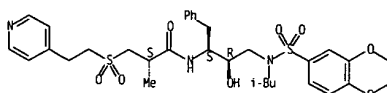


RN 191413-58-8 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

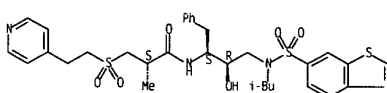
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-50-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

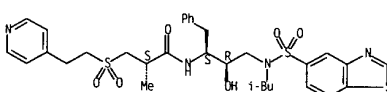
Absolute stereochemistry.



RN 191413-51-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

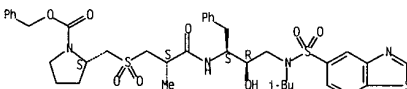


RN 191413-53-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-pyridinyl)ethyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

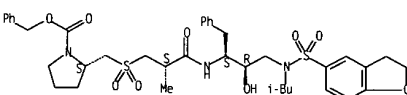
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-61-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[[[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

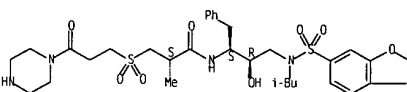
Absolute stereochemistry.



RN 191413-62-4 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

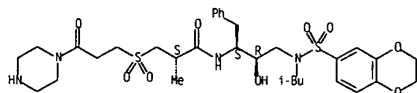


RN 191413-64-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

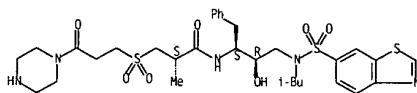
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



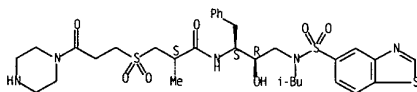
RN 191413-65-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-66-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

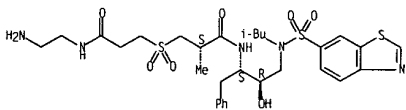
Absolute stereochemistry.



RN 191413-67-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[3-oxo-3-(1-piperazinyl)propyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

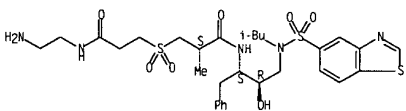
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



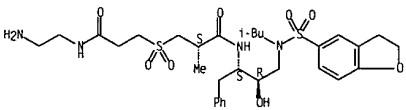
RN 191413-71-5 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-72-6 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

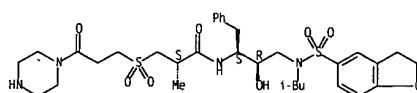
Absolute stereochemistry.



RN 191413-73-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

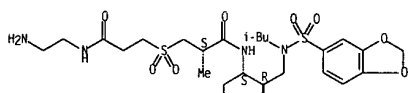
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



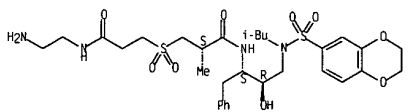
RN 191413-68-0 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[1,3-benzodioxol-5-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-69-1 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[2,3-dihydro-1,4-benzodioxin-6-yl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

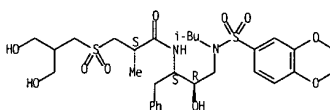
Absolute stereochemistry.



RN 191413-70-4 CAPLUS
 CN Propanamide, 3-[[[3-[(2-aminoethyl)amino]-3-oxopropyl]sulfonyl]-N-[(1S,2R)-3-[[[6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

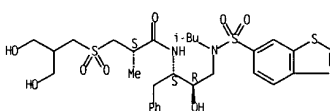
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



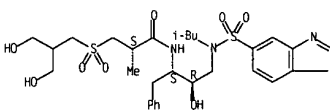
RN 191413-75-9 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-77-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

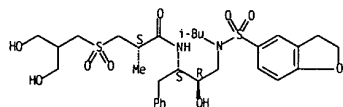
Absolute stereochemistry.



RN 191413-79-3 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[2,3-dihydro-5-benzofuranyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[[3-hydroxy-2-(hydroxymethyl)propyl]sulfonyl]-2-methyl]-, (2S)- (9CI) (CA INDEX NAME)

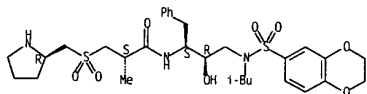
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



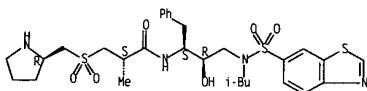
RN 191413-82-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-84-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

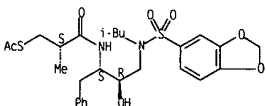
Absolute stereochemistry.



RN 191413-86-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

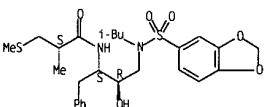
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



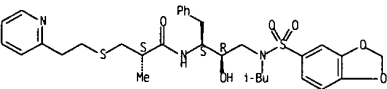
RN 183005-01-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191413-92-0 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-pyridinyl)ethylthio]-, (2S)- (9CI) (CA INDEX NAME)

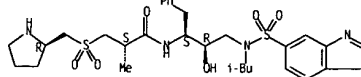
Absolute stereochemistry.



RN 191413-94-2 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-oxo-2-[(2-pyrrolidinyl)ethyl]amino]ethylthio]-, (2S)- (9CI) (CA INDEX NAME)

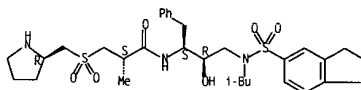
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-88-4 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2R)-2-pyrrolidinylmethylsulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

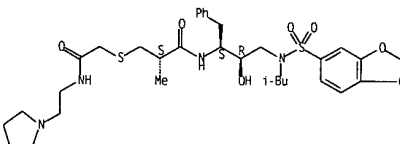
Absolute stereochemistry.



IT 183004-99-1P 183005-01-8P 191413-92-0P
 191413-94-2P 191413-98-6P 191414-00-3P
 191414-02-5P 191414-04-7P 191414-05-8P
 191414-06-9P 191414-07-0P 191414-08-1P
 191414-09-2P 191414-10-5P 191414-11-6P
 191414-12-7P 191414-13-8P 191414-15-0P
 191414-18-3P 191414-21-8P 191414-24-1P
 191414-26-3P 191414-27-4P 191414-28-5P
 191486-19-8P 191486-20-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of N-[2-hydroxy-4-phenyl-3-(sulfonylalkanylamino)butyl]arylsulfonamides and analogs as retroviral protease inhibitors)
 RN 183004-99-1 CAPLUS
 CN Ethanethioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

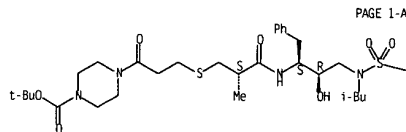
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191413-98-6 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[3-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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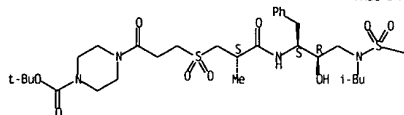


RN 191414-00-3 CAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[3-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]-1-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

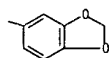
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

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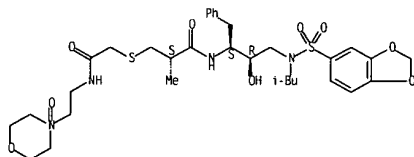
PAGE 1-B



RN 191414-02-5 CAPLUS

CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-[(4-oxido-4-morpholinyl)ethyl]amino]-2-oxoethyl]thio]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



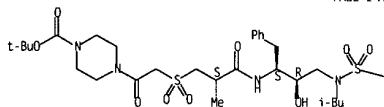
RN 191414-04-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[2-(4-morpholinyl)-2-oxoethyl]thio]-, (2S)- (9CI) (CA INDEX NAME)

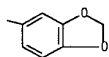
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

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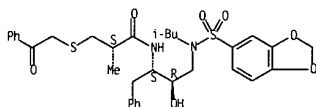
PAGE 1-B



RN 191414-07-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(2-oxo-2-phenylethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

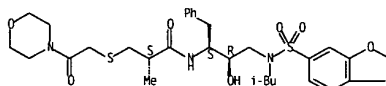


RN 191414-08-1 CAPLUS

CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]thio]-2-methyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

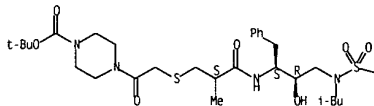


RN 191414-05-8 CAPLUS

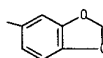
CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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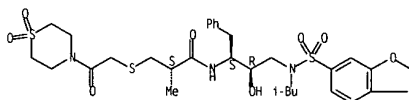


RN 191414-06-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[(2S)-3-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]acetyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

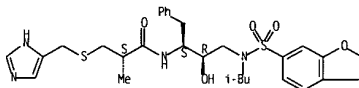
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 191414-09-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(1H-imidazol-4-ylmethyl)thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

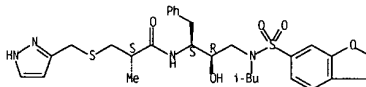
Absolute stereochemistry.



RN 191414-10-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-3-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

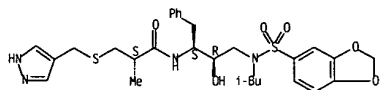


RN 191414-11-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(1H-pyrazol-4-ylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

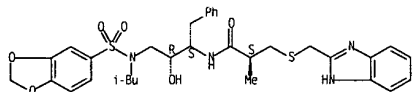
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



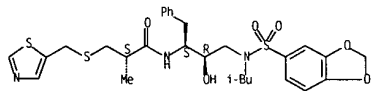
RN 191414-12-7 CAPLUS
 CN Propanamide, 3-[(1H-benzimidazol-2-ylmethyl)thio]-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-13-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(5-thiazolylmethyl)thio]-, (2S)- (9CI) (CA INDEX NAME)

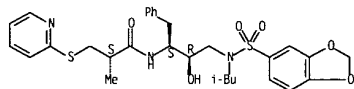
Absolute stereochemistry.



RN 191414-15-0 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

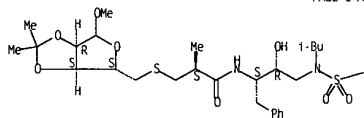
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



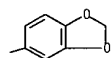
RN 191414-26-3 CAPLUS
 CN D-Ribofuranoside, methyl 5-S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-2,3-O-(1-methylethylidene)-5-thio-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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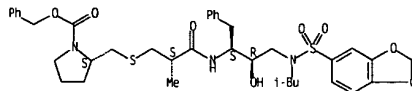
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RN 191414-27-4 CAPLUS
 CN D-Ribofuranoside, methyl 5-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-5-deoxy-2,3-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

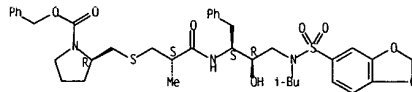
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



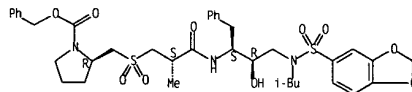
RN 191414-18-3 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]thio]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 191414-21-8 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]methyl]-, phenylmethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

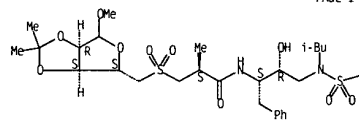


RN 191414-24-1 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(2-pyridinylthio)-, (2S)- (9CI) (CA INDEX NAME)

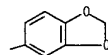
Absolute stereochemistry.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

PAGE 1-A

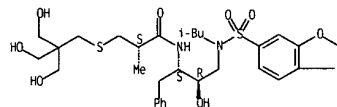


PAGE 1-B



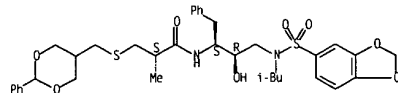
RN 191414-28-5 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[[3-hydroxy-2,2-bis(hydroxymethyl)propyl]thio]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



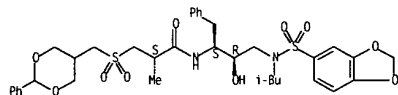
RN 191486-19-8 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[2-phenyl-1,3-dioxan-5-yl]methyl]thio]-, [5S[(1S,2R)]]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)
 RN 191486-20-1 CAPLUS
 CN Propanamide, N-[3-[[[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[[(2-phenyl-1,3-dioxan-5-yl)methyl]sulfonyl]-, [5S(1S,2R)]]-[partial]- (9CI) (CA INDEX NAME)

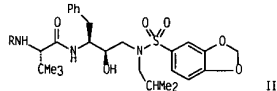
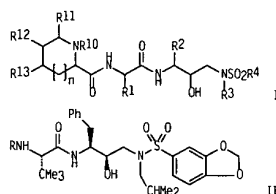
Absolute stereochemistry.



L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:8904 CAPLUS
 DOCUMENT NUMBER: 126:31657
 TITLE: Preparation of N-heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide as retroviral protease inhibitors
 INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
 PATENT ASSIGNEE(S): G.D. Searle & Co., USA
 SOURCE: PCT Int. Appl., 184 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628465	A1	19960919	WO 1996-US2683	19960307
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GE, GB, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA			
US 5776971	A	19980707	US 1995-474117	19950607
AU 9654178	A1	19961002	AU 1996-54178	19960307
AU 717598	B2	20000330		
EP 815124	A1	19980107	EP 1996-911230	19960307
EP 815124	B1	20021204		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
JP 11501920	T2	19990216	JP 1996-527647	19960307
BR 9607625	A	19990615	BR 1996-7625	19960307
RU 2174519	C2	20011010	RU 1997-116523	19960307
AT 229033	E	20021215	AT 1996-911230	19960307
PL 184771	B1	20021231	PL 1996-322179	19960307
NO 9704147	A	19971104	NO 1997-4147	19970909
US 6172101	B1	20010109	US 1998-894984	19980423
PRIORITY APPLN. INFO.:			US 1995-402419	A2 19950310
			US 1995-474117	A2 19950607
			WO 1996-US2683	W 19960307
OTHER SOURCE(S):		MARPAT 126:31657		
GRAPHIC IMAGE:				

L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

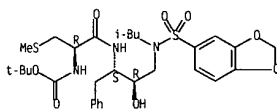


ABSTRACT:
 Selected heterocyclecarbonyl amino acid hydroxyethylamino sulfonamide compds. of formula [I: R1 = C1-5 alkyl, C2-5 alkenyl or alkynyl, C1-3 hydroxyalkyl, C1-3 alkoxy-C1-3 alkyl, cyano-C1-3 alkyl, imidazolylmethyl, CH2CONH2, CH2CH2CONH2, CH2(S)NH2 (n = 0, 1, 2), CMe2(S)Me (n = 0, 1, 2); R2 = C1-5 alkyl, C1-3 alkyl, alkylthio-C1-3 alkyl, arylthio-C1-3 alkyl, 3- to 6-membered cycloalkyl-C1-3 alkyl; R4 = aryl, benzo-fused 5- to 6-membered heteroaryl or heterocyclyl, etc.; R10 = H, C1-3 hydroxyalkyl, alkoxy-C1-3 alkyl; R12, R13 = H, OH, HOCH2CH2, C1-3 hydroxyalkyl, alkoxy-C1-3 alkyl; or R11 and R12 or R12 and R13 along with the C atoms to which they are attached represent a benzo radical optionally substituted with at least one HO or C1-3 alkoxy radical] are effective as retroviral protease inhibitors, and in particular as inhibitor of HIV protease, and for the treatment of AIDS. The present invention relates to such retroviral protease inhibitors and, more particularly, relates to selected novel compds., compn. and method for inhibiting retroviral proteases, such as human immunodeficiency virus (HIV) protease, prophylactically preventing retroviral infection or the spread of a retrovirus, and the treatment of a retroviral infection. Thus, tert-leucine deriv. (II: R = H) (prepn. given) was condensed with Z-Pro-OH using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBT in DMF followed by hydrogenolysis and acidification with HCl to give proline-contg. peptide analog II.HCl (R = H-Pro). The latter compd. in vitro showed IC50 of 1 nM for inhibiting the proliferation of HIV-1 in CEM cells.

IT 183553-90-4P 183553-91-5P 183553-92-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of N-heterocyclecarbonyl amino acid N-[hydroxy(sulfonamido)propyl]amides as retroviral protease inhibitors for AIDS treatment)
 RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

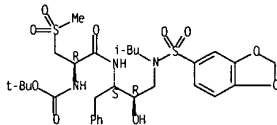
L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

Absolute stereochemistry.



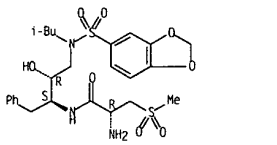
RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[[[(1S,2R)-3-[(1,3-benzodioxol-5-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

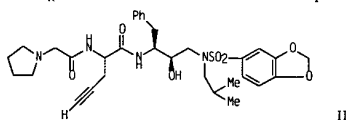
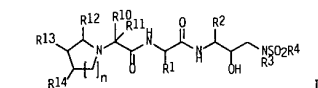
L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:748344 CAPLUS
 DOCUMENT NUMBER: 126:19331
 TITLE: Preparation of peptide hydroxyethylaminosulfonamide analogs as retroviral protease inhibitors.
 INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
 PATENT ASSIGNEE(S): G.D. Searle & Co., USA
 SOURCE: PCT Int. Appl., 212 pp.
 COEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628463	A1	19960919	WO 1996-US2684	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5756533	A	19980526	US 1995-474052	19950607
AU 9650294	A1	19961002	AU 1996-50294	19960307
AU 705268	B2	19990520		
EP 813542	A1	19971229	EP 1996-907135	19960307
EP 813542	B1	20021016		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
BR 9607638	A	19980526	BR 1996-7638	19960307
JP 2001513746	T2	20010904	JP 1996-527648	19960307
AT 226213	E	20021115	AT 1996-907135	19960307
EP 1258491	A1	20021120	EP 2002-11526	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
PL 184748	B1	20021231	PL 1996-322784	19960307
NO 9704148	A	19971027	NO 1997-4148	19970909
US 5968970	A	19991019	US 1998-894900	19980102
US 2002111368	A1	20020815	US 2001-836443	20010418
US 6458785	B2	20021001		
PRIORITY APPLN. INFO.:				
			US 1995-402287	A2 19950310
			US 1995-474052	A2 19950607
			EP 1996-907135	A3 19960307
			WO 1996-US2684	W 19960307

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

OTHER SOURCE(S): MARPAT 126:19331
 GRAPHIC IMAGE:



ABSTRACT:

Title compds. (I; n = 1, 2; R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cyanoalkyl, imidazolylalkyl, CH2CONH2, CH2SO2Me, etc.; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl; R4 = aryl, benzoheteroaryl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, PhCH2, imidazolymethyl, CH2CH2CONH2, CH2CH2SO2Me, etc.; R12 = H, hydroxyalkyl, alkoxyalkyl; R13, R14 = H, OH, alkoxy, 2-hydroxyethoxy, hydroxyalkyl, alkoxyalkyl; R12R13, R13R14 = atoms to form 5-6 membered heteroaryl or benzo rings), were prep'd. Thus, title comp'd. (II), prep'd. by soln. phase means, inhibited HIV protease with IC50 = 2 nM.

IT 183553-89-1P 183812-50-2P

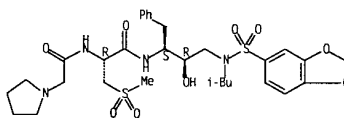
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of amino acid hydroxyethylaminosulfonamide retroviral protease inhibitors)

RN 183553-89-1 CAPLUS

CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

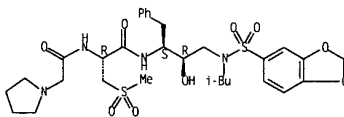


● HC1

RN 183812-50-2 CAPLUS

CN 1-Pyrrolidineacetamide, N-[(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 183553-90-4P 183553-91-5P 183553-92-6P

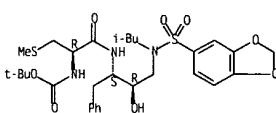
183553-93-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of amino acid hydroxyethylaminosulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

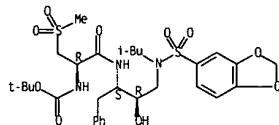
Absolute stereochemistry.



L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

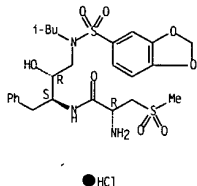
RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-92-6 CAPLUS
 CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183553-93-7 CAPLUS
 CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

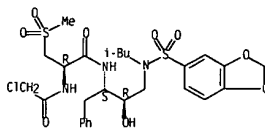
Absolute stereochemistry.

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS

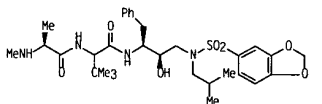
ACCESSION NUMBER: 1996-733943 CAPLUS
 DOCUMENT NUMBER: 126:8710
 TITLE: Preparation of bisamino acid hydroxyethylaminosulfonamide retroviral protease inhibitors.
 INVENTOR(S): Getman, Daniel P.; Descrescenzo, Gary A.; Freskos, John N.; Vasquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; Brown, David L.; McDonald, Joseph J.
 PATENT ASSIGNEE(S): G.D. Searle & Co., USA
 SOURCE: PCT Int. Appl., 255 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628464	A1	19960919	WO 1996-US2685	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
US 6150556	A	20001121	US 1995-479071	19950607
AU 9653561	A1	19961002	AU 1996-53561	19960307
AU 704360	B2	19990422		
BR 9607543	A	19971223	BR 1996-7543	19960307
EP 813543	A1	19971229	EP 1996-910337	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
JP 11501921	T2	19990216	JP 1996-527649	19960307
EP 1188766	A1	20020320	EP 2001-129219	19960307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
NO 9704149	A	19971105	NO 1997-4149	19970909
US 6143788	A	20001107	US 1998-913096	19980121
PRIORITY APPLN. INFO.:				
US 1995-402450 A2 19950310				
US 1995-479071 A 19950607				
EP 1996-910337 A3 19960307				
WO 1996-US2685 W 19960307				
OTHER SOURCE(S): MARPAT 126:8710				
GRAPHIC IMAGE:				

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

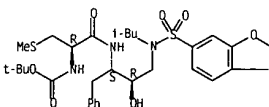


ABSTRACT:
 R13R12NCR10R11CONHCHR1CONHCHR2CH(OH)CH2NR3SO2R4 (R1 = alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, CH2CH2CONH2, CMe2SMe, etc.; R2 = alkyl, aralkyl, alkylthioalkyl, arylthioalkyl, cycloalkylalkyl; R3 = alkyl, cycloalkyl, cycloalkylalkyl; R4 = aryl, heteroaryl, heterocyclyl, benzoheteroaryl; R10 = H, alkyl, hydroxyalkyl, alkoxyalkyl; R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, aralkyl, heteroalkyl, alkylthioalkyl, etc.; R12, R13 = H, alkyl, aralkyl, heteroalkyl, cycloalkyl, cycloalkylalkyl, hydroxyalkyl, alkoxyalkyl, aryl, heteroaryl; with provisos, were prepd. as retroviral protease inhibitors. Thus, title compd. (I), prepd. by soln. phase methods, inhibited HIV protease with IC50 = 1 nM.

IT 183553-90-4P 183553-91-5P 183553-92-6P
 183553-93-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. of bisamino acid hydroxyethylaminosulfonamide retroviral protease inhibitors)

RN 183553-90-4 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylthio)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

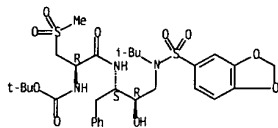
Absolute stereochemistry.



RN 183553-91-5 CAPLUS
 CN Carbamic acid, [(1R)-2-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1-[(methylsulfonyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

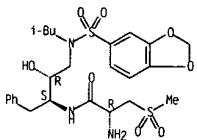
Absolute stereochemistry.



RN 183553-92-6 CAPLUS

CN Propanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-(methylsulfonyl)-, monohydrochloride. (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 183553-93-7 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-(methylsulfonyl)-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996-667025 CAPLUS

DOCUMENT NUMBER: 125:328302

TITLE: Preparation of N-[(sulfonylalkanyl)amino]hydroxyalkyl sulfonamides as retroviral protease inhibitors

INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; McDonald, Joseph J.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 171 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

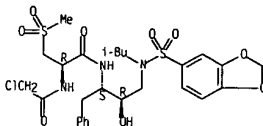
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628418	A1	19960919	WO 1996-US2682	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RD, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
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AU 9666951	A1	19961002	AU 1996-66951	19960307
AU 711098	B2	19991007		
EP 813519	A1	19971229	EP 1996-911229	19960307
EP 813519	B1	20010509		
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JP 11503414	T2	19990326	JP 1996-527646	19960307
AT 201014	E	20010515	AT 1996-911229	19960307
NO 9704146	A	19971107	NO 1997-4146	19970909
US 5985870	A	19991116	US 1997-913069	19971219
US 6380188	B1	20020430	US 2000-672449	20000929
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			US 1997-913069	A1 19971219
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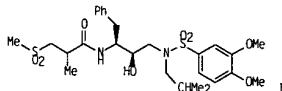
OTHER SOURCE(S): MARPAT 125:328302

GRAPHIC IMAGE:

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



ABSTRACT: RSSOm(CH₂)_nCHR1CONHCHR2CH(OH)CH2NR3SO2R4 [1: R1 = H, (hydroxy)alkyl, CH2CONH2, etc.; R2 = (ar)alkyl, alkylthioalkyl, etc.; R3 = (cyclo)alkyl, cycloalkylmethyl; R4 = heterocyclyl, heteroaryl, etc.; R5 = (ar)alkyl, alkenyl, alkynyl; m,n = 0-2] were prep. Thus, (2S,3S)-N-benzoyloxycarbonyl-3-amino-1,2-epoxy-4-phenylbutane (prepn. given) was condensed with Me2CHCH2NH2 and the product amidated by 3,4-(MeO)C6H3SO2Cl to give, after deprotection and (S)-MeSO2CH2CHMeCO2H amidation, title comp. II. Data for activity of selected I in an in vitro HIV inhibition assay were given.

IT 174303-66-3P 183004-72-0P 183004-73-1P

183004-74-2P 183004-75-3P 183004-76-4P

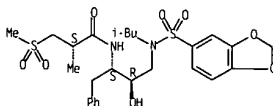
183004-77-5P 183004-78-6P 183182-29-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIDL (Biological study); PREP (Preparation); USES (Uses) (prepn. of N-[(sulfonylalkanyl)amino]hydroxyalkyl]sulfonamides as retroviral protease inhibitors)

RN 174303-66-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

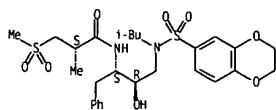


RN 183004-72-0 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

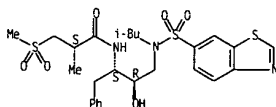
L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183004-73-1 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

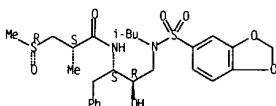
Absolute stereochemistry.



RN 183004-74-2 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



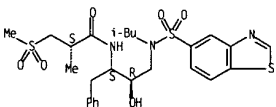
RN 183004-75-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

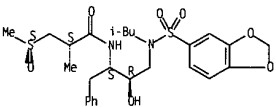
Absolute stereochemistry.



RN 183182-29-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



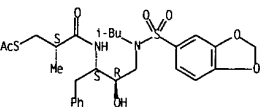
IT 183004-99-1P 183005-01-8P

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(prepn. of N-[(sulfonylalkanyl)amino]hydroxyalkyl)sulfonamides as retroviral protease inhibitors)

RN 183004-99-1 CAPLUS

CN Ethanedithioic acid, S-[(2S)-3-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

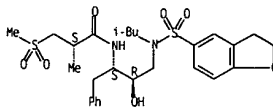
Absolute stereochemistry.



RN 183005-01-8 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-

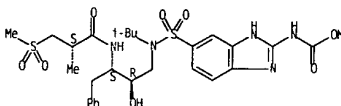
L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)



RN 183004-76-4 CAPLUS

CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[[[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

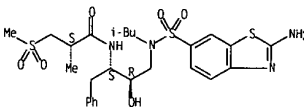
Absolute stereochemistry.



RN 183004-77-5 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(2-amino-6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



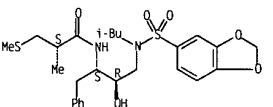
RN 183004-78-6 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:153437 CAPLUS
 DOCUMENT NUMBER: 124:220480
 TITLE: Retroviral protease inhibitor combinations
 INVENTOR(S): Bryant, Martin L.; Potts, Karen E.; Saidt, Mary;
 Tucker, Simon P.
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533464	A2	19951214	WO 1995-US6673	19950602
WO 9533464	A3	19960104		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT RW: KE, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2191948 AA 19951214 CA 1995-2191948 19950602 AU 9526510 A1 19960104 AU 1995-26510 19950602 AU 696299 B2 19980903 EP 762880 A1 19970319 EP 1995-921428 19950602 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE BR 9507912 A 19970812 BR 1995-7912 19950602 CN 1166786 A 19971203 CN 1995-194464 19950602 HU 76979 A2 19980128 HU 1996-3328 19950602 JP 10505324 T2 19980526 JP 1995-501057 19950602 US 6100277 A 20000808 US 1995-458154 19950602 PL 180070 B1 20001229 PL 1995-317425 19950602 RU 2166317 C2 20010510 RU 1997-100123 19950602 NO 9605136 A 19970120 NO 1996-5136 19961202 FI 9604835 A 19970129 FI 1996-4835 19961203 PRIORITY APPLN. INFO.: US 1994-253638 A2 19940603 WO 1995-US6673 W 19950602				

ABSTRACT:

A method is disclosed for the treatment of mammalian retrovirus infections, e.g. HIV, using combinations of retroviral protease inhibitors which are effective in preventing the replication of the retroviruses in vitro or in vivo. In particular, the invention provides protease inhibitor compds. used in combination therapy with other protease inhibitor compds. Also disclosed is combination therapy with a combination of protease inhibitors and antiviral

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2003 ACS (Continued)

agents other than protease inhibitors. Prepn. and activity of selected inhibitors is included.

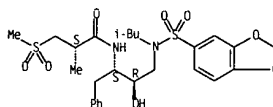
IT 174303-66-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (retroviral protease inhibitor combinations, and protease inhibitor prepn.)

RN 174303-66-3 CAPLUS

CN Propanamide, N-[(1S,2R)-3-[[[1,3-benzodioxol-5-ylsulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

83.32

232.91

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-11.72

-11.72

STN INTERNATIONAL LOGOFF AT 11:26:20 ON 04 MAR 2003